Deg.

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=> d his
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(FILE 'HOME' ENTERED AT 14:55:35 ON 18 MAR 2005)
     FILE 'HCAPLUS' ENTERED AT 14:56:49 ON 18 MAR 2005
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L1
              1 FR1999-597/AP, PRN
                E W02000-FR53/AP, PRN
L2
              1 WO2000-FR53/AP, PRN
L3
              1 L1-2
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L4
                TRA L3 1- RN:
                                      12 TERMS
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L5
             12 SEA L4
     FILE 'WPIX' ENTERED AT 14:58:03 ON 18 MAR 2005
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              1 FR1999-597/AP, PRN
L6
                E W02000-FR53/AP, PRN
L7
              1 WO2000-FR53/AP, PRN
L8
              1 L6-7
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L9
L10
                STR L9
                STR L10
L11
L12
              0 L11 CSS
                SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 204
L13
L14
              O L11 NOT L13 CSS
L15
                STR L10
L16
                STR L10
L17
             28 L16 CSS
L18
             23 L16 NOT L13 CSS
L19
                STR L16
L20
             22 L19 NOT L13 CSS
L21
           2713 L19 NOT L13 CSS FULL
L22
             13 L21 AND SQL>=6
                SAV TEM L22 AUD178F0/A
L23
                STR L19
L24
              9 L23
L25
              0 L23 CSS
L26
             34 L23 CSS FULL
                SAV TEM AUD178F1/A L26
L27
                STR L16
L28
             50 L27 CSS
L29
          23623 L27 CSS FULL
L30
            176 L29 AND SQL>=6
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L31
              0 L26 AND SQL>=6
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L32
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L33
L34
             58 L32 AND L33
                E BRIAND J/AU
L35
            367 E3, E5, E11-12
                E SEMETEY V/AU
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L36

26 E4
E LIMAL D/AU

L37
25 E3-4

L38
174 (BIO AND MERIEUX)/CS, PA

L39
2 L32 AND L35-38

L40
96 L32 NOT L39

L41
56 L34 NOT L39

L42
17 L41 AND P/DT
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=> b reg

FILE 'REGISTRY' ENTERED AT 16:22:21 ON 18 MAR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0 DICTIONARY FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que sta 122

L13 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 2043 OR 2054

L19 STR

VAR G1=CH2/8/11

VAR G2=ME/I-PR/S-BU/I-BU/13/17/24/50/54/58

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VAR G3=S/CO2H/27/OH/29/40/49
VAR G4=H/OH
VAR G5=CO2H/27
VAR G6=O/S
NODE ATTRIBUTES:
NSPEC IS RC AT 1
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES: RSPEC 29 36 45 NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE

L21 2713 SEA FILE=REGISTRY CSS FUL L19 NOT L13

L22 13 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND SQL>=6

 $\begin{array}{c} \Rightarrow \text{ d que sta } 130 \\ \text{L27} \qquad \qquad \text{STR} \\ \text{G2-N-C-C-G1-N-C-G66} \qquad \text{CH-G2} \qquad \text{G2-C-G2} \qquad \text{C-G3} \\ \text{60-1-2-3-4-5-6-7} \qquad \text{@8-9-10-@11-12} \qquad \text{@24-25} \\ \\ \text{C-C-C-N-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-N-C-N-$

@54 55 56 57 @58 59

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VAR G3=S/C02H/27/OH/29/40/49
VAR G4=H/OH
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NODE ATTRIBUTES:
NSPEC IS C AT 1
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 6
DEFAULT MLEVEL IS ATOM

GRAPH ATTRIBUTES: RSPEC 29 36 45 NUMBER OF NODES IS 60

DEFAULT ECLEVEL IS LIMITED

STEREO ATTRIBUTES: NONE

L29 23623 SEA FILE=REGISTRY CSS FUL L27

L30 176 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND SQL>=6

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VAR G2=ME/I-PR/S-BU/I-BU/13/17/24/50/54/58
VAR G3=S/CO2H/27/OH/29/40/49
VAR G4=H/OH
VAR G5=CO2H/27
VAR G6=O/S
NODE ATTRIBUTES:
NSPEC IS RC AT 1
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 29 36 45 5
NUMBER OF NODES IS 62

STEREO ATTRIBUTES: NONE

L26 34 SEA FILE=REGISTRY CSS FUL L23

L31 O SEA FILE=REGISTRY ABB=ON PLU=ON L26 AND SQL>=6

=> b hcap FILE 'HCAPLUS' ENTERED AT 16:22:42 ON 18 MAR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 18 Mar 2005 VOL 142 ISS 13 FILE LAST UPDATED: 17 Mar 2005 (20050317/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr 139 tot

L39 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:493567 HCAPLUS

DN 133:105351

Entered STN: 21 Jul 2000 ED

Preparation of pseudopeptides for detecting antigens or antibodies

Briand, Jean-Paul; Semetey, Vincent; Limal,

David

Bio Merieux, Fr. PA

PCT Int. Appl., 41 pp. S₀

CODEN: PIXXD2

DT Patent

French LA

IC ICM C07K007-02

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 6, 63

GI

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								KP,										
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			SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
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		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
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	EP	1140	986			A1	A1 20011010 EP 2000-9005					9005	64	20000112				
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						LV,												
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PRAI	FR	1999	-597			Α		1999	0115									
	WO	2000	-FR5	3		W		2000	0112									
CLAS	SS																	
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WO 2000042065 ICM			C07K007-02															
FR 2788527 ECLA			A	C07K007/02														
0S	MAI	RPAT	133:	1053	51													

$$-N$$
— CH_2 - CH_2 -

AB The invention concerns a pseudopeptide of at least 6 amino acids comprising at least a unit selected among the general formulas I and/or II wherein: R1-R3 each independently of one another represent a side-chain of amino acids and can be identical or different; X represents an oxygen or sulfur atom. The invention also concerns its synthesis process, a reagent containing it, a detection kit comprising such a reagent, a method for detecting an antigen or an antibody using said pseudopeptide, and antibody or and anti-idiotype and finally a therapeutic composition Thus, pseudopeptide III was prepared for detecting antigens or antibodies (no data).

ST peptide pseudo prepn detecting antigen antibody; pseudopeptide prepn detecting antigen antibody

T Antibodies

Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudopeptides for detecting antigens or antibodies)

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pseudopeptides; preparation of pseudopeptides for detecting antigens or antibodies)

IT 282531-02-6P 282531-04-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudopeptides for detecting antigens or antibodies)

IT 15761-38-3 35661-39-3

IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pseudopeptides for detecting antigens or antibodies) 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P

223922-56-3P 223922-60-9P 282531-03-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pseudopeptides for detecting antigens or antibodies)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

- (1) Bradshaw, C; J MED CHEM 1994, V37, P1991 HCAPLUS
- (2) Dallaire, C; TETRAHEDRON LETTERS 1998, V39(29), P5129 HCAPLUS
- (3) Limal, D; TETRAHEDRON LETTERS 1999, V40(14), P2749 HCAPLUS

- (4) Nouvet, A; TETRAHEDRON LETTERS 1998, V39(15), P2099 HCAPLUS
- (5) Searle & Co; EP 0126974 A 1984 HCAPLUS
- (6) Talley, J; US 5475013 A 1995 HCAPLUS
- (7) Univ Tulane; WO 9213883 A 1992 HCAPLUS
- IT 282531-02-6P

.....

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudopeptides for detecting antigens or antibodies)

RN 282531-02-6 HCAPLUS

CN L-Norleucinamide, N-[[(1S)-3-[(2S)-2,6-diamino-1-oxohexyl](1-methylethyl)amino]-1-methylpropyl]amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L39 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:223728 HCAPLUS
- DN 130:325384
- ED Entered STN: 12 Apr 1999
- TI Solid-phase synthesis of N, N'-unsymmetrically substituted ureas: application to the synthesis of carbaza peptides
- AU Limal, David; Semetey, Vincent; Dalbon, Pascal; Jolivet, Michel; Briand, Jean-Paul
- CS Laboratoire de Chimie Immunologique, U.P.R. 9021 C.N.R.S., Institut de Biologie Moleculaire et Cellulaire, Strasbourg, 67084, Fr.
- SO Tetrahedron Letters (1999), 40(14), 2749-2752 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- CC 34-3 (Amino Acids, Peptides, and Proteins)
- OS CASREACT 130:325384
- AB The synthesis of Boc- or Fmoc-mono-protected propylenediamine derivs. is reported starting from N-protected .alpha.-amino acids. The introduction of these building blocks on solid support via the formation of a urea moiety leads to a new pseudopeptide family (C. alpha.-CH2-CH2-N. alpha. (R)-CO-NH-C. alpha.). Two carbonylating reagents, i.e N, N'-carbonyldiimidazole and tri-phosgene, as well as different coupling procedures, have been tested to optimize the Boc and Fmoc solid-phase synthesis of a model peptide incorporating this isosteric replacement.
- ST propylenediamine carbaza pseudopeptide prepn amino acid carbonylation
- IT Peptides, preparation
 - RL: SPN (Synthetic preparation); PREP (Preparation) (pseudopeptides, carbaza; solid phase synthesis of unsym. substituted

Audet 09/889178

Page 8

ureas and application to synthesis of carbaza peptides)

IT Carbonylation

Solid phase synthesis

(solid phase synthesis of unsym. substituted ureas and application to synthesis of carbaza peptides)

IT 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P 223922-56-3P 223922-60-9P 223922-66-5P 223922-71-2DP,

solid-supported 223922-76-7DP, solid-supported 223922-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)

IT 223922-80-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of by solid phase synthesis of unsym. substituted ureas as carbaza peptides)

IT 223922-85-8

RL: MSC (Miscellaneous)

(preparation of carbaza analog of by solid phase synthesis using unsym. substituted ureas)

IT 102-97-6 15761-38-3 35000-22-7 35661-39-3 223922-33-6D, solid-supported

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)

RE. CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

- (1) Abdel-Magid, A; J Org Chem 1996, V61, P3849 HCAPLUS
- (2) Burgess, K; J Am Chem Soc 1997, V119, P1556 HCAPLUS
- (3) Fehrentz, J; Synthesis 1982, P676
- (4) Gante, J; Synthesis 1989, P405 HCAPLUS
- (5) Hutchins, S; Tetrahedron Lett 1995, V36, P2583 HCAPLUS
- (6) Lemaire-Audoire, S; Tetrahedron Lett 1995, V36, P6109
- (7) Limal, D; J Peptide Res 1998, V52, P121 HCAPLUS
- (8) Limal, D; Tetrahedron Lett 1998, V39, P4239 HCAPLUS
- (9) Majer, P; J Org Chem 1994, V59, P1937 HCAPLUS
- (10) Mendre, C; European J Pharmacol 1990, V186, P213 HCAPLUS
- (11) Nahm, S; Tetrahedron Lett 1981, V22, P3815 HCAPLUS
- (12) Quibell, M; J Chem Soc Perkin Trans I 1993, P2843 HCAPLUS
- (13) Spatola, A; Chemistry and Biochemistry of Amino Acids Peptide and Proteins 1983, V7, P267 HCAPLUS
- (14) Zhang, X; J Org Chem 1997, V62, P6420 HCAPLUS
- IT 223922-71-2DP, solid-supported

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)

RN 223922-71-2 HCAPLUS

CN L-Threoninamide, N-[[[(3S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]butyl](1-methylethyl)amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-N-(5-carboxypentyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

APP. # Ney.

=> d his

(FILE 'HOME' ENTERED AT 14:55:35 ON 18 MAR 2005)

FILE 'HCAPLUS' ENTERED AT 14:56:49 ON 18 MAR 2005

E FR1999-597/AP, PRN

L1 1 FR1999-597/AP, PRN

E W02000-FR53/AP, PRN

L2 1 WO2000-FR53/AP, PRN

L3 1 L1-2

FILE 'REGISTRY' ENTERED AT 14:57:58 ON 18 MAR 2005

FILE 'HCAPLUS' ENTERED AT 14:57:59 ON 18 MAR 2005

L4 TRA L3 1- RN: 12 TERMS

FILE 'REGISTRY' ENTERED AT 14:57:59 ON 18 MAR 2005

L5 12 SEA L4

FILE 'WPIX' ENTERED AT 14:58:03 ON 18 MAR 2005

E FR1999-597/AP, PRN

L6 1 FR1999-597/AP, PRN

E W02000-FR53/AP, PRN

L7 1 WO2000-FR53/AP, PRN

L8 1 L6-7

=> b hcap

FILE 'HCAPLUS' ENTERED AT 14:58:52 ON 18 MAR 2005

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 18 Mar 2005 VOL 142 ISS 13 FILE LAST UPDATED: 17 Mar 2005 (20050317/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all 13

L3 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:493567 HCAPLUS

DN 133:105351

ED Entered STN: 21 Jul 2000

TI Preparation of pseudopeptides for detecting antigens or antibodies

IN Briand Jean-Paul; Semetey Vincent; Limal, David

PA Bio Merieux, Fr.

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

D

APP

3 1NV 5

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DT
     Patent
     French
LA
IC
     ICM C07K007-02
CC
     34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 6, 63
FAN. CNT 1
                                                                         DATE
     PATENT NO.
                           KIND
                                  DATE
                                                APPLICATION NO.
                                                                         20000112 <--
                                   20000720
     WO 2000042065
                                                WO 2000-FR53
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              CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
              IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
              MD, MG, MK, MN, MW, MX,
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                                   TT,
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                                        TJ,
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                            A1
     EP 1140986
                                               EP 2000-900564
                                                                        20000112 <--
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     EP 1140986
                                  20021211
                            B1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
     AT 229540
                            E
                                  20021215
                                               AT 2000-900564
                                                                         20000112 <--
PRAI FR 1999-597
                            A
                                   19990115
     WO 2000-FR53
                            W
                                   20000112 <---
CLASS
                  CLASS PATENT FAMILY CLASSIFICATION CODES
PATENT NO.
 WO 2000042065
                  ICM
                          C07K007-02
                                                                                  <--
FR 2788527
                  ECLA
                          C07K007/02
     MARPAT 133:105351
0S
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$$-NH - \frac{R^{2}}{K^{1}} - CH_{2} - CH_{2} - NH - \frac{X}{K^{2}} - \frac{X}{K^{3}}$$

$$-N - CH_{2} - CH_{2} - \frac{R^{2}}{K^{1}} - NH - \frac{X}{K^{2}} - \frac{X}{K^{1}}$$

$$11$$

$$H-Lys\underset{OH}{\underbrace{\text{Me}}} \underset{C}{\underbrace{c}} \underset{P_{r-i}}{\underbrace{0}} \\ Tyr-Asn-Phe-Ala-Thr-Nle-NH2} \underbrace{(III)}$$

AB The invention concerns a pseudopeptide of at least 6 amino acids comprising at least a unit selected among the general formulas I and/or II wherein: R1-R3 each independently of one another represent a side-chain of amino acids and can be identical or different; X represents an oxygen or

sulfur atom. The invention also concerns its synthesis process, a reagent containing it, a detection kit comprising such a reagent, a method for detecting an antigen or an antibody using said pseudopeptide, and antibody or and anti-idiotype and finally a therapeutic composition Thus, pseudopeptide III was prepared for detecting antigens or antibodies (no data).

ST peptide pseudo prepn detecting antigen antibody; pseudopeptide prepn detecting antigen antibody

IT Antibodies

Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudopeptides for detecting antigens or antibodies)

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pseudopeptides; preparation of pseudopeptides for detecting antigens or antibodies)

IT 282531-02-6P 282531-04-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pseudopeptides for detecting antigens or antibodies)

IT 15761-38-3 35661-39-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pseudopeptides for detecting antigens or antibodies) 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P 223922-56-3P 223922-60-9P 282531-03-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pseudopeptides for detecting antigens or antibodies) RE.CNT $\, 7 \,$ THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

(1) Bradshaw, C; J MED CHEM 1994, V37, P1991 HCAPLUS

- (2) Dallaire, C: TETRAHEDRON LETTERS 1998, V39(29), P5129 HCAPLUS
- (3) Limal, D; TETRAHEDRON LETTERS 1999, V40(14), P2749 HCAPLUS
- (4) Nouvet, A; TETRAHEDRON LETTERS 1998, V39(15), P2099 HCAPLUS
- (5) Searle & Co; EP 0126974 A 1984 HCAPLUS
- (6) Talley, J; US 5475013 A 1995 HCAPLUS
- (7) Univ Tulane; WO 9213883 A 1992 HCAPLUS

=> b reg

RE

FILE 'REGISTRY' ENTERED AT 14:58:58 ON 18 MAR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0 DICTIONARY FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d ide 15 tot

- L5 ANSWER 1 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 282531-04-8 REGISTRY
- CN L-Norleucinamide, N-[[[(3S)-3-[[(2S)-2,6-diamino-1-oxohexyl]amino]butyl](1-methylethyl)amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)
- FS PROTEIN SEQUENCE; STEREOSEARCH
- MF C49 H78 N12 O11
- SR CA
- LC STN Files: CA, CAPLUS
- DT. CA CAplus document type: Patent
- RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-B

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→Bu-n

- 1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L5 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN RN 282531-03-7 REGISTRY

CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)amino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H28 N2 O2

CI COM

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 282531-02-6 REGISTRY

CN L-Norleucinamide, N-[[(1S)-3-[[(2S)-2,6-diamino-1-oxohexyl](1-methylethyl)amino]-1-methylpropyl]amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C49 H78 N12 O11

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 223922-60-9 REGISTRY

CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)-2-propenylamino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C25 H32 N2 O2

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 223922-56-3 REGISTRY

CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C12 H26 N2 O2

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 223922-53-0 REGISTRY

CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)(phenylmethyl)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C19 H32 N2 O2

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT. CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 223922-49-4 REGISTRY

CN Carbamic acid, [(1S)-3-(methoxymethylamino)-1-methyl-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C21 H24 N2 O4

SR CA

LC STN Files: CA, CAPLUS

DT. CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 210533-61-2 REGISTRY

CN Carbamic acid, [(1S)-3-(methoxymethylamino)-1-methyl-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H22 N2 O4

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT. CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 193954-23-3 REGISTRY

CN Carbamic acid, [(1S)-3-diazo-1-methyl-2-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Carbamic acid, (3-diazo-1-methyl-2-oxopropyl)-, 9H-fluoren-9-ylmethylester, (S)-

FS STEREOSEARCH

MF C19 H17 N3 O3

SR CA

LC STN Files: CA, CAPLUS, CASREACT

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

14 REFERENCES IN FILE CA (1907 TO DATE)

15 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 67919-80-6 REGISTRY

CN Carbamic acid, [(1S)-3-diazo-1-methyl-2-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Carbamic acid, (3-diazo-1-methyl-2-oxopropyl)-, 1,1-dimethylethyl ester, (S)-

FS STEREOSEARCH

MF C9 H15 N3 O3

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry. Rotation (-).

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31 REFERENCES IN FILE CA (1907 TO DATE)

31 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 35661-39-3 REGISTRY

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME) OTHER NAMES:

CN (9-Fluorenylmethoxycarbonyl)-L-alanine

CN (S)-N-Fmoc-alanine

CN FMOC-Alanine

CN FMOC-L-alanine

CN N-(9-Fluorenylmethoxycarbonyl)alanine

CN N-9-Fluorenylmethoxycarbonyl-L-alanine

CN NPC 14688

- CN NSC 334296
- FS STEREOSEARCH
- MF C18 H17 N O4
- CI CO
- LC STN Files: BEILSTEIN*, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, TOXCENTER, USPAT2, USPATFULL

(*File contains numerically searchable property data)

Other Sources: EINECS**

(**Enter CHEMLIST File for up-to-date regulatory information)

- DT.CA CAplus document type: Conference; Journal; Patent
- RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
- RLD. P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
- RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); MSC (Miscellaneous); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)
- RLD. NP Roles for non-specific derivatives from non-patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

787 REFERENCES IN FILE CA (1907 TO DATE)

108 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

787 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- L5 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 15761-38-3 REGISTRY
- CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- CN Alanine, N-carboxy-, N-tert-butyl ester, L- (8CI) OTHER NAMES:
- CN (2S)-2-[(tert-Butoxycarbonyl)amino]propanoic acid
- CN (S)-2-(N-tert-Butoxycarbonyl)aminopropionic acid
- CN (S)-2-[(tert-butoxycarbonyl)amino]propionic acid
- CN (S)-2-[(tert-Butoxycarbonyl)amino]propionic acid
- CN (tert-Butoxycarbonyl)alanine
- CN (tert-Butyloxycarbonyl)-L-alanine
- CN BOC-L-alanine
- CN N-(tert-Butoxycarbonyl)-(S)-alanine
- CN N-(tert-Butoxycarbonyl)-L-alanine
- CN N-(tert-Butoxycarbonyl)alanine

CN N-(tert-Butyloxycarbonyl)-L-alanine

CN N-BOC-L-alanine

CN N-t-BOC-L-alanine

CN N-tert-BOC-(S)-alanine

CN tert-Butoxycarbonyl-L-alanine

FS STEREOSEARCH

DR 90580-61-3, 186665-28-1, 207305-56-4

MF C8 H15 N O4

CI COM

LC STN Files: BEILSTEIN*, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, PS, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL (*File contains numerically searchable property data)

Other Sources: EINECS**, NDSL**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)

DT.CA CAplus document type: Conference; Journal; Patent; Report

RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)

RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent)

RL. NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)

RLD. NP Roles for non-specific derivatives from non-patents: ANST (Analytical study); PREP (Preparation); PRP (Properties); RACT (Reactant or reagent)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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145 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2602 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'WPIX' ENTERED AT 14:59:24 ON 18 MAR 2005 COPYRIGHT (C) 2005 THE THOMSON CORPORATION

FILE LAST UPDATED: 16 MAR 2005 <20050316/UP>
MOST RECENT DERWENT UPDATE: 200518 <200518/DW>
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http://thomsonderwent.com/support/dwpiref/reftools/classification/code-revision/
    FOR DETAILS. <<<
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    ANSWER 1 OF 1 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
L8
    2000-482811 [42] WPIX
AN
DNC C2000-145305
    New pseudopeptide containing carbonyl replaced by methylene, useful as
     diagnostic and therapeutic agents, e.g. in vaccines, have improved
    resistance to protease.
DC
    BRIAND, J P; LIMAL, D; SEMETEY, V; BRIAND, J
IN
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PA
CYC
     WO 2000042065
                    A1 20000720 (200042)* FR 40
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     ICS
          CO7KO16-42; CO7KO16-44; GO1NO33-532; GO1NO33-564; GO1NO33-68
     WO 200042065 A UPAB: 20000905
     NOVELTY - Pseudopeptide (A) of at least 6 amino acids contains at least
     one specified motif in which a peptide carbonyl is replaced by methylene.
          DETAILED DESCRIPTION - Pseudopeptide (A) of at least 6 amino acids
     contains at least one specified motif of formulae (I) and/or (II)
```

-NH-CR1R2-CH2CH2-NR2-C- (I) -NR3-CH2CH2-CR1R2-NH-CX- (II).

R1, R2 and R3 = amino acid sidechains; and X = 0 or S.

INDEPENDENT CLAIMS are also included for:

- (a) a method for the synthesis of (A):
- (b) a reagent, for detecting diseases associated with presence of endogenous or exogenous proteins, containing at least one (A);
- (c) a kit for detecting such diseases containing the reagent of (b) immobilized on a solid phase;
- (d) a method for detecting and/or quantifying biological materials by forming an immune complex with (A);
- (e) a method for detecting and/or quantifying an antigen (Ag) (or antibody, Ab) by competitive reaction between predetermined amounts of specific Ab (or Ag), the reagent of (b) and test sample;
- (f) a mono- or poly-clonal antibody (Ab1) produced by immunizing an animal with (A);
- (g) an anti-idiotypic antibody (Ab2) prepared by immunizing an animal with Ab1; and
- (h) a therapeutic composition containing (A), Abl or Ab2, optionally as a conjugate, plus an excipient.

ACTIVITY - Antiviral; antiparasitic; anticancer; neuroprotective.

MECHANISM OF ACTION - (A) induce a specific immune response or
interact with specific MHC (major histocompatibility complex) molecules
associated with a particular autoimmune disease but are unable to activate
a pathological T cell response.

USE - (A) are useful for the diagnosis of disease associated with the presence of endogenous or exogenous proteins (e.g. viral or parasitic infections, cancer, autoimmune diseases and neurodegeneration); to detect such proteins in environmental samples, foods, pharmaceutical or cosmetic compositions; therapeutically, especially in immunotherapy and vaccines (for treating or preventing the above diseases) and to generate specific antibodies (Abl) that react with (A) or with the native peptides and proteins from which (A) are derived.

ADVANTAGE - (A) have better metabolic stability towards proteases than similar peptides or proteins and may also have better biological activities as a result of conformational differences. They are easy to prepare by solid phase or solution methods. Dwg. 0/2

FS CPI

FA AB: DCN

MC CPI: B04-C01B; B04-G21; B04-G22; B11-C07A6; B12-K04A; B12-K04E; B14-A02; B14-G02D; B14-H01; B14-J01; B14-S11

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PAGE 1-B

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L42 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:830274 HCAPLUS

DN 137:325643

ED Entered STN: 31 Oct 2002

TI Polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression

IN Baird, Eldon E.; Dervan, Peter B.

PA California Institute of Technology, USA

SO U.S., 53 pp., Cont.-in-part of Appl. No. PCT/US97/12722.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07D231-02

ICS C07D403-02; C07D233-04; C07N019-00; C07N021-02

NCL 548312200

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 3, 27

FAN. CNT 11

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CLASS
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 US 6472537
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C07K007/02; C07K007/04; C08G069/00 The invention encompasses improved polyamides for binding to specific AR nucleotide sequences in the minor groove of double-stranded DNA. The polyamides are in the form of a hairpin comprising two groups of a least three consecutive carboxamide residues, the two groups covalently linked by an aliphatic amino acid reside, preferably gamma. -aminobutyric acid or 2,4-diaminobutyric acid, the consecutive carboxamide residues of the first group pairing being in an antiparallel manner with the consecutive carboxamide residues of the second group in the minor groove of double-stranded DNA. The 3-hydroxy-N-methylpyrrole/N-methylpyrrole carboxamide pair specifically recognizes the T. cntdot. A base pair, while the N-methylpyrrole/3-hydroxy-N-methylpyrrole pair recognizes A. cntdot. T nucleotide pairs. Similarly, an N-methylimidizole/N-methylpyrrole carboxamide pair specifically recognizes the G. cntdot. C nucleotide pair, and the N-methylpyrrole/N-methylimidizole carboxamide pair recognizes the C. cntdot. G nucleotide pair. Preferably, the binding of the polyamide to the DNA modulates the expression of a gene. Increased specificity of 3-hydroxy-N-methylpyrrole-containing polyamides was demonstrated. ST polyamide pyrrole hydroxypyrrole DNA binding; gene expression polyamide pyrrole hydroxypyrrole contg IT Gene RL: BSU (Biological study, unclassified); BIOL (Biological study) (expression; polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression) ΙT DNA Gene Promoter (genetic element) RL: BSU (Biological study, unclassified); BIOL (Biological study) (polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression) ΙT Polyamides, preparation RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression) 193743-37-2P 206128-30-5P 206128-31-6P IT 210578-91-9P 210578-92-0P 210578-88-4P 210578-89-5P 210578-90-8P 210578-93-1P 210578-94-2P 210578-95-3P 210578-96-4P 210578-97-5P 210578-98-6P 212180-64-8P 212180-67-1P 212180-71-7P 212180-74-0P 212180-83-1P 212180-87-5P 212180-91-1P 212180-76-2P 212180-80-8P 212180-95-5P 212180-97-7P 212181-00-5P 212181-04-9P 212181-09-4P 212181-13-0P 212181-15-2P 212181-16-3P 212181-18-5P 212181-20-9P 212181-28-7P 212181-30-1P 212181-22-1P 212181-24-3P 212181-26-5P 212181-35-6P 212181-36-7P 212181-32-3P 212181-33-4P 212181-34-5P 212181-37-8P 212181-38-9P 212181-39-0P 212181-40-3P 212181-41-4P 212181-42-5P 212181-43-6P 212181-44-7P 212181-45-8P 212181-46-9P 212181-50-5P 212181-47-0P 212181-48-1P 212181-49-2P 212181-51-6P 212181-52-7P 212181-53-8P 212181-54-9P 212181-55-0P 212181-56-1P 212181-57-2P 212181-58-3P 212181-60-7P 212181-62-9P 212181-64-1P 212181-74-3P 212181-70-9P 212181-72-1P 212181-66-3P 212181-68-5P 212181-75-4P 212181-77-6P 212181-79-8P 212181-80-1P 212181-82-3P 212181-86-7P 212181-88-9P 212181-89-0P 212181-84-5P 212181-85-6P 212181-95-8P 212181-93-6P 212181-97-0P 212181-91-4P 212181-92-5P 212181-99-2P 212182-01-9P 212182-03-1P 212182-05-3P 212182-07-5P 212182-10-0P 212182-12-2P 212182-14-4P 212182-16-6P 212182-18-8P 212182-20-2P 212182-22-4P 212182-24-6P 212182-26-8P 212182-28-0P

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RE. CNT
              THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
(1) Abu-Daya; Nucleic Acids Research 1995, V23, P3385 HCAPLUS
(2) Abu-Daya; Nucleic Acids Research 1997, V25, P4962 HCAPLUS
(3) Ades; Biochemistry 1995, V34, P14601 HCAPLUS
(4) Al Said; Tet Lett 1994, V35, P7577 HCAPLUS
(5) Anon; EP 0246868 A1 1987 HCAPLUS
(6) Anon: EP 0388948 A1 1990 HCAPLUS
(7) Anon: CA 2005039 1991 HCAPLUS
(8) Anon; WO 9209574 1992 HCAPLUS
(9) Anon: WO 9213838 1992 HCAPLUS
(10) Anon; WO 9214707 1992 HCAPLUS
(11) Anon; GB 2261661 A 1993 HCAPLUS
(12) Anon; WO 9300446 1993 HCAPLUS
(13) Anon; WO 9403434 1994 HCAPLUS
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(14) Anon; WO 9414980 1994 HCAPLUS
(15) Anon; WO 9420463 1994 HCAPLUS
(16) Anon; WO 9425436 1994 HCAPLUS
(17) Anon; DE 4331012 A1 1995 HCAPLUS
(18) Anon: WO 9504732 1995 HCAPLUS
(19) Anon: WO 9605196 1996 HCAPLUS
(20) Anon: WO 9632496 1996 HCAPLUS
(21) Anon; WO 9703957 1997 HCAPLUS
(22) Anon; WO 972812 1997
(23) Bruice; US 5698674 A 1997 HCAPLUS
(24) Chen; Structural Biology 1994, V1(3), P169 HCAPLUS
(25) Cook; US 5539083 A 1996 HCAPLUS
(26) Dervan; US 4795700 A 1989 HCAPLUS
(27) Dervan; US 6143901 A 2000 HCAPLUS
(28) Edwards; US 5578444 A 1996 HCAPLUS
(29) Edwards; US 5693463 A 1997 HCAPLUS
(30) Edwards; US 5726014 A 1998 HCAPLUS
(31) Edwards; US 5738990 A 1998 HCAPLUS
(32) Howard; Biochem Biophys Research Comms 1964, V17(1), P93 HCAPLUS
(33) Hylarides; US 5563250 A 1996 HCAPLUS
(34) Kissinger, E; Biochemistry 1987, V26, P5590
(35) Kopka; J Mol Biol 1985, V183, P553 HCAPLUS
(36) Kopka; Proc Natl Acad Sci, USA 1985, V82, P1376 HCAPLUS
(37) Krugh; Current Opinion In Structural Biology 1994, V4, P351 HCAPLUS
(38) Kutyavin; US 5801155 A 1998 HCAPLUS
(39) Lee; Biochemistry 1993, V32, P4237 HCAPLUS
(40) Pelton; Proc Natl Acad Sci USA 1989, V86, P5723 HCAPLUS
    193743-37-2P 206128-30-5P 206128-31-6P
     RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
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yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

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RN 206128-30-5 HCAPLUS

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PAGE 2-A

206128-31-6 HCAPLUS

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PAGE 1-B

PAGE 2-B

- L42 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2001:444531 HCAPLUS
- DN 135:61551
- ED Entered STN: 20 Jun 2001
- TI preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria
- IN Asu, Tatsuo; Yoshida, Osamu; Sumino, Yukihito
- PA Shionogi and Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 96 pp.
 - CODEN: JKXXAF
- DT Patent
- LA Japanese
- IC ICM C07K009-00
 - ICS A61K038-00; A61P031-04

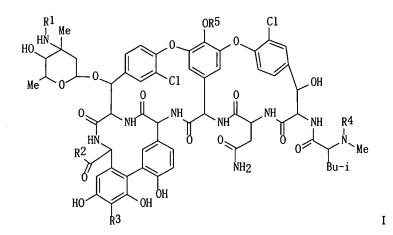
8

CC 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 1

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PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI JP 2001163898 PRAI JP 1999-349386 CLASS	A2 20010619 19991208	•	19991208 <
	PATENT FAMILY CL	ASSIFICATION CODES	
JP 2001163898 ICM ICS	C07K009-00 A61K038-00; A61P	031-04	
OS MARPAT 135:61551			



- AB Title compds. I [R1 = H, (un) substituted benzyl, alkyl, alkenyl, alkynyl, arylalkylcarbamoyl, etc.; R2 = OH, (un) substituted (di) alkylamino, cycloalkylamino, methylamino, etc.; R3 = H, (un) substituted aminomethyl, alkynyl, halo, etc.; R4 = H, (un) substituted alkyl, alkyloxycarbonyl, arylamide, etc.; R5 = H, glucosyl, (4-epi-vancosaminyl)-0-glucosyl], pharmaceutically acceptable salts, hydrates, or prodrugs are prepared Compound I [R1 = 4-[2-(4-chlorophenyl) vinyl]benzyl, R2 = OH, R3 = H, R4 = p-methoxybenzyloxycarbonyl, R5 = glucosyl] was reacted in the presence of Na2CO3 in F3CCO2H in H2O to give 36% I [R1 = 4-[2-(4-chlorophenyl) vinyl]benzyl, R2 = OH, R3 = H, R4 = H, R5 = glucosyl] showing good bactericidal activity against MRSA.
- ST glycopeptide prepn antibiotic vancomycin resistant Enterococcus; methicillin resistant bacteria antibiotic glycopeptide prepn
- IT Antibiotics

Enterococcus

(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

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study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of glycopeptides as antibiotics against vancomycin-resistant
   Enterococcus and methicillin-resistant bacteria)
66-84-2, D-Glucosamine hydrochloride 343-94-2, Tryptamine hydrochloride
536-74-3, Phenylacetylene 2016-57-1, 1-Aminodecane 2411-58-7, Undecyl
isocyanate
             3399-67-5, (2-Aminoethyl) trimethylammonium chloride
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hydrochloride
41840-29-3
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   Enterococcus and methicillin-resistant bacteria)
345268-37-3 HCAPLUS
Vancomycin, 2'-O-de (3-amino-2, 3, 6-trideoxy-3-C-methyl-.alpha.-L-lyxo-
hexopyranosyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-
29-[[[2-oxo-2-(2, 2, 2-trimethylhydrazinium-1-yl)ethyl]amino]methyl]-22-0-
[2, 3, 6-trideoxy-3-[[[4-(heptyloxy)phenyl]methyl]amino]-3-C-methyl-.alpha.-
L-arabino-hexopyranosyl]-, inner salt (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

IT

IT

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PAGE 1-A

PAGE 1-B

Me2N-

8

PAGE 2-B

L42 ANSWER_3_OF_17_HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:779155 HCAPLUS

DN 132:19609

ED Entered STN: 09 Dec 1999

TI Inhibition of transcription or cell proliferation with DNA-binding polyamides

IN Dervan, Peter B.; Gottesfeld, Joel M.

PA The Scripps Research Institute, USA; California Institute of Technology

SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 837,524.

 $\begin{array}{c} \text{CODEN: USXXAM} \\ \text{DT} & \text{Patent} \end{array}$

LA English

IC ICM C12Q001-68

NCL 435006000

CC 3-1 (Biochemical Genetics)
 Section cross-reference(s): 1

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                        C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02;
    Methods and compns. are provided for forming complexes intracellularly
     between dsDNA and oligomers of heterocycles, aliphatic amino acids,
     particularly omega-amino acids, and a polar end group. By appropriate
     choice of target sequences and composition of the oligomers, complexes are
     obtained with low dissociation consts. The formation of complexes can be used
     for modifying the phenotype of cells, either prokaryotic or eukaryotic,
     for research and therapy. Thus, polyamides containing N-methylpyrrole and
     N-methylimidazole were prepared and their binding to DNA characterized.
     Association consts. of 3.7 X 1010 were observed for certain polyamides.
     polyamides inhibited TFIIIA binding to the 5S RNA gene, thereby
     selectively inhibiting transcription of this gene.
ST
     transcription cell proliferation DNA binding polyamide
IΤ
    RNA
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (5S, gene for, inhibition of transcription of; inhibition of
        transcription or cell proliferation with DNA-binding polyamides)
    Polyamides, biological studies
     RL: BPR (Biological process); BSU (Biological study, unclassified); PEP
     (Physical, engineering or chemical process); SPN (Synthetic preparation);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC
     (Process); USES (Uses)
        (N-methylpyrrole and/or N-methylimidazole-containing; inhibition of
        transcription or cell proliferation with DNA-binding polyamides)
     Transcription factors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (TFIIIA (transcription factor IIIA), binding to 5S RNA gene of;
        inhibition of transcription or cell proliferation with DNA-binding
        polyamides)
     Antibacterial agents
IT
     Antiviral agents
     Cell proliferation
     Plant cell
     Transcription, genetic
        (inhibition of transcription or cell proliferation with DNA-binding
        polyamides)
IT
    Animal cell
        (mammalian; inhibition of transcription or cell proliferation with
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DNA-binding polyamides) IT 191916-06-0 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); OCCU (Occurrence): PROC (Process) (double-stranded, polyamide target; inhibition of transcription or cell proliferation with DNA-binding polyamides) TT 180530-17-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (inhibition of transcription or cell proliferation with DNA-binding polvamides) 180530-18-1P RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process) (inhibition of transcription or cell proliferation with DNA-binding polyamides) 96-54-8 541-41-3, Ethyl chloroformate 76-02-8 IT 616-47-7 2592-95-2. 1-Hydroxybenzotriazole 24424-99-5 57294-38-9 RL: RCT (Reactant); RACT (Reactant or reagent) (inhibition of transcription or cell proliferation with DNA-binding polyamides) 30148-21-1P 77716-11-1P 77716-16-6P IT 13138-76-6P 109012-23-9P 120122-47-6P 128293-64-1P 180258-45-1P 180258-46-2P 180258-48-4P 195387-60-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (inhibition of transcription or cell proliferation with DNA-binding polyamides) 251922-10-8, 1: PN: US5998140 PAGE: 23 unclaimed DNA 251922-11-9, 2: PN: US5998140 PAGE: 23 unclaimed DNA 251922-12-0, 3: PN: US5998140 PAGE: 23 251922-13-1, 4: PN: US5998140 PAGE: 23 unclaimed DNA unclaimed DNA 251922-14-2, 5: PN: US5998140 PAGE: 25 unclaimed DNA 251922-15-3, 6: PN: US5998140 PAGE: 25 unclaimed DNA 251922-16-4, 7: PN: US5998140 PAGE: 26 unclaimed DNA 251922-18-6, 8: PN: US5998140 PAGE: 27 unclaimed DNA 251922-19-7, 9: PN: US5998140 PAGE: 27 unclaimed DNA RL: PRP (Properties) (unclaimed nucleotide sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides) IT 115440-32-9 140708-73-2 222160-28-3 RL: PRP (Properties) (unclaimed sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides) THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD RE. CNT 103 (1) Ades, S; Biochemistry 1995, V34, P14601 HCAPLUS (2) A1-Said, N; Tet Lett 1994, V35, P7577 HCAPLUS (3) Anon; EP 0246868 1987 HCAPLUS (4) Anon; EP 0388948 1990 HCAPLUS (5) Anon; CA 2005039 1991 HCAPLUS (6) Anon; WO 92/09574 1992 HCAPLUS (7) Anon; WO 92/13838 1992 HCAPLUS (8) Anon: WO 92/14707 1992 HCAPLUS (9) Anon; GB 2261661 1993 HCAPLUS (10) Anon; WO 93/00446 1993 HCAPLUS (11) Anon; WO 94/03434 1994 HCAPLUS (12) Anon; WO 94/14980 1994 HCAPLUS (13) Anon; WO 94/20463 1994 HCAPLUS (14) Anon; WO 94/25436 1994 HCAPLUS

Audet 09/889178 Page 23

- (15) Anon; WO 95/04732 1995 HCAPLUS
- (16) Anon; WO 96/05196 1996 HCAPLUS
- (17) Anon; WO 97/03957 1997 HCAPLUS
- (18) Anon; WO 97/2812 1997
- (19) Anon: WO 97/30975 1997 HCAPLUS
- (20) Baird, E: J Am Chem Soc 1996, V118, P6141 HCAPLUS
- (21) Breslauer, K; Structure & Expression 1988, V2, P273
- (22) Bruice; US 5698674 1997 HCAPLUS
- (23) Bruice, T; Bioorg Med Chem 1997, V5, P685 HCAPLUS
- (24) Bruice, T; Proc Natl Acad Sci USA 1992, V89, P1700 HCAPLUS
- (25) Chen, X; J Mol Biol 1997, V267, P1157 HCAPLUS
- (26) Chen, X; Nature Struct Biol 1994, V1, P169 HCAPLUS
- (27) Chen, Y; J Am Chem Soc 1994, V116, P6995 HCAPLUS
- (28) Chiang, S; Proc Natl Acad Sci USA 1997, V94, P2811 HCAPLUS
- (29) Cho, J; Proc Natl Acad Sci USA 1995, V92, P10389 HCAPLUS
- (30) Church, K; Biochemistry 1990, V29, P6827 HCAPLUS
- (31) Dale, J; J Am Chem Soc 1973, V95, P512 HCAPLUS
- (32) de Clairac, R; J Am Chem Soc 1997, V119, P7909
- (33) Dervan, P; Science 1997, V232, P464
- (34) Duval-Valentin, G; Proc Natl Acad Sci USA 1992, V89, P504 HCAPLUS
- (35) Dwyer, T; J Am Chem Soc 1992, V114, P5911 HCAPLUS
- (36) Dwyer, T; J Am Chem Soc 1993, V115, P9900 HCAPLUS
- (37) Edwards; US 5578444 1996 HCAPLUS
- (38) Feng; Science 1994, V263, P348 HCAPLUS
- (39) Gartenberg, M; Nature 1988, V333, P824 HCAPLUS
- (40) Geierstanger, B; J Am Chem 1993, V115, P4474 HCAPLUS
- (41) Geierstanger, B; Nature Struct Biol 1996, V3, P321 HCAPLUS
- (42) Geierstanger, B; Science 1994, V266, P646 HCAPLUS
- (43) Geierstanger, B; Science 1994, V266, P646 HCAPLUS
- (44) Goodchild; Bioconjugate Chemistry 1990, V1(3), P165 HCAPLUS
- (45) Gottesfeld, J; Nature 1997, V387, P202 HCAPLUS
- (46) He, G; J Am Chem Soc 1993, V115, P7061 HCAPLUS
- (47) Herman, D; J Am Chem Soc 1998, V120, P1382 HCAPLUS
- (48) Ho, S; Proc Natl Acad Sci USA 1994, V91, P9203 HCAPLUS
- (49) Hyde, C; Int J Peptide Protein Res 1994, V43, P431 HCAPLUS
- (50) Kelly, J; Proc Natl Acad Sci USA 1996, V93, P6981 HCAPLUS
- (51) Kent, S; Annu Rev Biochem 1988, V57, P957 HCAPLUS
- (52) Kiclkopf, C; Nature Struct Biol 1998, V5, P104
- (53) Kim, Y; Nature 1993, V365, P512 HCAPLUS
- (54) Kopka, M; Structure 1997, V5, P1033 HCAPLUS
- (55) Lee, M; Biochemistry 1988, V27, P445 HCAPLUS
- (56) Liu, C; Proc Natl Acad Sci USA 1996, V93, P940 HCAPLUS
- (57) Maher, L; Biochemistry 1992, V31, P70 HCAPLUS
- (58) Moser, H; Science 1987, V238, P645 HCAPLUS
- (59) Mrksich, M; J Am Chem Soc 1993, V115, P2572 HCAPLUS
- (60) Mrksich, M; J Am Chem Soc 1993, V115, P9892 HCAPLUS (61) Mrksich, M; J Am Chem Soc 1994, V116, P3663 HCAPLUS (62) Mrksich, M; J Am Chem Soc 1994, V116, P7983 HCAPLUS (63) Mrksich, M; J Am Chem Soc 1995, V117, P3325 HCAPLUS

- (64) Mrksich, M; Proc Natl Acad Sci USA 1992, V89, P7586 HCAPLUS
- (65) Neely, L; J Mol Biol 1997, V274, P439 HCAPLUS
- (66) Nielsen, P; Chem Eur J 1997, V3, P505 HCAPLUS
- (67) Nishiwaki, E; Heterocycles 1988, V27, P1945 HCAPLUS
- (68) Oakley, M; Biochemistry 1992, V31, P10969 HCAPLUS
- (69) Parks, M; J Am Chem Soc 1996, V118, P6147 HCAPLUS
- (70) Parks, M; J Am Chem Soc 1996, V118, P6153 HCAPLUS
- (71) Pelton, J; J Am Chem Soc 1990, V112, P1393 HCAPLUS
- (72) Pelton, J; Proc Natl Acad Sci USA 1989, V86, P5723 HCAPLUS
- (73) Pilch, D; Proc Natl Acad Sci USA 1996, V93, P8306 HCAPLUS
- (74) Pullman, B; Adv Drug Res 1989, V18, P1 HCAPLUS
- (75) Seeman, N; Proc Natl Acad Sci USA 1976, V73, P804 HCAPLUS

Audet 09/889178 Page 24

(76) Singh, S; Proc Natl Acad Sci USA 1994, V91, P7673 HCAPLUS (77) Sluka, J; Biochemistry 1990, V29, P6551 HCAPLUS (78) Steitz, T; Quart Rev Biophys 1990, V23, P205 HCAPLUS (79) Swalley, S; Chem Eur J 1997, V3, P1600 HCAPLUS (80) Swalley, S; J Am Chem Soc 1996, V118, P8198 HCAPLUS (81) Swalley, S; J Am Chem Soc 1997, V119, P6953 HCAPLUS (82) Szewezyk, J; Angew Chem Int Ed Engl 1996, V35, P1487 (83) Szewezyk, J; J Am Chem Soc 1996, V118, P6778 (84) Taylor, J; Tetrahedron 1984, V40, P457 HCAPLUS (85) Thuong, N; Angew Chem Int Ed Engl 1993, V32, P666 (86) Trauger, J; Chem & Biol 1996, V3, P369 HCAPLUS (87) Trauger, J; J Am Chem Soc 1996, V118, P6160 HCAPLUS (88) Trauger, J; Nature 1996, V382, P559 HCAPLUS (89) Turner, J; J Am Chem Soc 1997, V119, P7636 HCAPLUS (90) Van Dyke, M; Proc Natl Acad Sci USA 1982, V79, P5470 HCAPLUS (91) Van Dyke, M; Science 1984, V225, P1122 HCAPLUS (92) Wade, W; Biochemistry 1993, V32, P11385 HCAPLUS (93) Wade, W; J Am Chem Soc 1992, V114, P8783 HCAPLUS (94) Walker, W; Proc Natl Acad Sci USA 1997, V94, P5634 HCAPLUS (95) Wemmer, D; Curr Opin Struct Biol 1997, V7, P355 HCAPLUS (96) White, S; Biochemistry 1996, V35, P12532 HCAPLUS (97) White, S; Chem & Biol 1997, V4, P569 HCAPLUS (98) White, S; J Am Chem Soc 1997, V119, P8756 HCAPLUS (99) White, S; Nature 1998, V391, P468 HCAPLUS (100) Wong, J; Nucl Acids Res 1994, V22, P1890 HCAPLUS (101) Yamaguchi, S; Asymmetric Synthesis 1983, V1, P125 HCAPLUS (102) Youngquist, R; J American Chemical Society 1987, V109(24), P7564 HCAPLUS (103) Zimmer, C; Prog Biophys Molec Biol 1986, V47, P31 HCAPLUS 180530-17-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (inhibition of transcription or cell proliferation with DNA-binding polyamides) 180530-17-0 HCAPLUS RN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-

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PAGE 1-A

PAGE 1-B

PAGE 2-A

- L42 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999: 755837 HCAPLUS
- DN 131:322927
- ED Entered STN: 30 Nov 1999
- TI Preparation of vancomycin-related antibacterial agents
- IN Chen, Qi Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.; Leadbetter, Michael R.
- PA Advanced Medicine Inc., USA
- SO Fr. Demande, 193 pp.
 - CODEN: FRXXBL
- DT Patent
- LA French
- IC ICM C07K009-00 ICS A61K038-14
- CC 34-3 (Amino Acids, Peptides, and Proteins)

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                 ICM
                        A61K038-14
                 ICS
                       C07K009/00F2
FR 2778184
                 ECLA
US 6518242
                 ECLA
                       C07K009/00F2
    Novel antibacterial agents that act as multi-binding agents, LpXq [L is a
    ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X
    is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally
    substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of
    the invention are capable of binding to a transglycosylase enzyme
     substrate, thereby modulating their biol. processes/functions. Thus,
     [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was
    prepared by condensation of vancomycin hydrochloride with pentanedioic acid
    bis(2-aminoethyl)amide and used to prepare pharmaceutical formulations. The
    compds. of the invention showed a broad spectrum of antibacterial
    activity.
    glycopeptide linked vancomycin prepn antibacterial; vancomycin linked
    peptide prepn antibacterial
    Antibacterial agents
        (preparation of vancomycin-related antibacterial agents)
IT
    Glycopeptides
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of vancomycin-related antibacterial agents)
IT
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     239087-86-6P
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    BIOL (Biological study); PREP (Preparation); USES (Uses)
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    107-15-3, 1, 2-Ethanediamine, reactions 109-55-7, 3-
     (Dimethylamino) propylamine 110-60-1, 1,4-Diaminobutane
    Decanoyl chloride 112-29-8, 1-Bromodecane 141-43-5, Reactions,
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    Vancomycin hydrochloride 2873-74-7, Glutaryl dichloride 5680-79-5,
    Glycine methyl ester hydrochloride 5736-88-9, p-Butoxybenzaldehyde
    34490-86-3, Dimethyl suberimidate dihydrochloride
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        (preparation of vancomycin-related antibacterial agents)
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     239088-02-9P
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                                   239088-05-2P
                                                  239088-13-2P
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     239091-79-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of vancomycin-related antibacterial agents)
IT
     239088-22-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of vancomycin-related antibacterial agents)
     239087-86-6P 239087-92-4P 239087-96-8P
     239088-07-4P 239088-09-6P 239088-11-0P
     239088-15-4P 239088-33-6P 239088-35-8P
     239088-37-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of vancomycin-related antibacterial agents)
     239087-86-6 HCAPLUS
RN
     Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-
     (dimethylamino)propyl]amino]carbonyl]-, (56. fwdarw. 2''), (56'. fwdarw. 2''')-
     diamide with 1, 1'-(1, 5-dioxo-1, 5-pentanediyl)bis[.beta.-alanyl-.beta.-
     alanine], trifluoroacetate (salt) (9CI) (CA INDEX NAME)
     CM
         1
     CRN 239087-85-5
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Absolute stereochemistry.

C163 H208 C14 N28 O52

PAGE 1-A

PAGE 1-C

PAGE 2-B

PAGE 2-D

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 239087-92-4 HCAPLUS

CN Vancomycin, N3''-[2-[[4-[(2-aminoethyl)amino]-1, 4-dioxobutyl]amino]ethyl]26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-,
(N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Search done by Noble Jarrell

PAGE 1-B

Me2N-

PAGE 5-A

RN 239087-96-8 HCAPLUS

CN Vancomycin, N3''-(2-aminoethy1)-26-decarboxy-26-[[[3-(dimethylamino)propy1]amino]carbony1]-, (N3''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediy1)bis[.beta.-alany1-.beta.-alanine]
(2.fwdarw.26'')-amide with 26-[[(2-aminoethy1)amino]carbony1]-26-decarboxyvancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

 \sim Bu-i

PAGE 2-A

PAGE 2-B

D

R3 R2 R3 R3

PAGE 5-A

Ø

PAGE 5-B

∼Bu-i

PAGE 7-A

R5 R4

RN 239088-07-4 HCAPLUS

CN Vancomycin, N3''-[2-[[5-[(2-aminoethyl)amino]-1,5-dioxopentyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with N3''-[(4-butoxyphenyl)methyl]vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-06-3

CMF C157 H191 C14 N23 O49

Absolute stereochemistry.

6

PAGE 1-B

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 \sim NH $_2$

ß

PAGE 2-B

6

PAGE 3-A

K

PAGE 4-A

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ HO & & \\ & & & \\ HO & & \\ \end{array}$$

ØS.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-C02H

RN 239088-09-6 HCAPLUS

CN Vancomycin, N3''-[2-[[8-[(2-aminoethyl)amino]-1,8-diiminooctyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Me2N-

PAGE 2-B

B

R3____R2

R3

PAGE 3-A

PAGE 5-A

PAGE 5-B

 \sim Bu-i

PAGE 7-A

RN 239088-11-0 HCAPLUS

Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (56''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine] (2.fwdarw.26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM :

CN

CRN 239088-10-9 CMF C158 H197 C14 N27 O52

PAGE 1-A

PAGE 1-C

PAGE 2-B

PAGE 2-C

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

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Он

PAGE 3-A

<u>|</u>

Me NH2

PAGE 3-C

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F | F-C-C02H

RN 239088-15-4 HCAPLUS

CN Vancomycin, 29-[[(2-aminoethyl)amino]methyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (29.fwdarw.58)-amide with vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-14-3 CMF C140 H168 C14 N22 O46

PAGE 1-A

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

239088-33-6 HCAPLUS Vancomycin, N3'', N3'''-[[4-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-1,7dioxo-1, 7-heptanediyl]bis(imino-2, 1-ethanediyl)]bis[26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-B

C1-----

Me2N-----

PAGE 2-C

RN

239088-35-8 HCAPLUS Vancomycin, N3'', N3'''-[[4-[(1,2-dioxopentadecyl)amino]-1,7-dioxo-1,7-heptanediyl]bis(imino-2,1-ethanediyl)]bis[26-decarboxy-26-[[[3-CN (dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Me2N-----

PAGE 1-C

$$\begin{array}{c|c} & \text{OH} \\ & \text{OH} \\ & \text{OH} \\ & \text{HO} \\ & \text{R} \\ & \text{H} \\ & \text{NH} \\ & \text{H} \\ \end{array}$$

PAGE 2-B

PAGE 2-C

RN

239088-37-0 HCAPLUS
Vancomycin, N3'', N3'''-[[5-(phenylmethoxy)-1, 3phenylene]bis(carbonylimino-2, 1-ethanediyl)]bis[26-decarboxy-26-[[[3(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A



PAGE 2-C

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- L42 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:549285 HCAPLUS
- DN 131:170642
- ED Entered STN: 31 Aug 1999
- TI Preparation of vancomycin-related antibacterial agents
- IN Chon, Qi-Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.
- PA Advanced Medicine, Inc., USA
- SO PCT Int. Appl., 174 pp.
 - CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM C07K007-50
 - ICS A61K038-12
- CC 34-3 (Amino Acids, Peptides, and Proteins)
 - Section cross-reference(s): 10, 63

FAN. CNT 2

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                         KIND
                                DATE
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                                     LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
                                     RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
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                                            AU 1999-33073
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PRAI US 1998-75514P
                          Р
                                19980220
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     US 1998-78903P
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     US 1998-82209P
                                19980417
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     US 1999-119162P
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                                19990208
     WO 1999-US3850
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                                19990222
CLASS
                 CLASS PATENT FAMILY CLASSIFICATION CODES
PATENT NO.
WO 9942476
                 ICM
                        C07K007-50
                 ICS
                        A61K038-12
WO 9942476
                 ECLA
                        C07K009/00F2
                        C07K009/00F2
US 6518242
                 ECLA
0S
    MARPAT 131:170642
AB
    Novel antibacterial agents that act as multibinding agents, LpXq [L is a
     ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X
     is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally
     substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of
     the invention are capable of binding to a transglycosylase enzyme
     substrate, thereby modulating their biol. processes/functions. Thus,
     [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was
     prepared by condensation of vancomycin hydrochloride with pentanedioic acid
     bis(2-aminoethyl) amide and used to prepare pharmaceutical formulations.
     compds. of the invention showed a broad spectrum of antibacterial
     activity.
ST
     vancomycin linked derivs prepn antibacterial
ΙT
     Antibacterial agents
        (preparation of vancomycin-related antibacterial agents)
IT
     Glycopeptides
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of vancomycin-related antibacterial agents)
IT
     239087-61-7P
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                                                                  239087-83-3P
                                   239087-88-8DP, N-methyl-D-glucamine
     239087-86-6P
                    239087-87-7P
                  239087-88-8P
                                 239087-89-9P 239087-92-4P
                                                              239087-93-5P
     derivative
     239087-94-6P 239087-96-8P 239088-07-4P
     239088-09-6P 239088-11-0P 239088-15-4P
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     239088-29-0P
                    239088-39-2P
     239088-37-0P
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     239088-49-4DP, N-methyl-D-glucamine derivative
                                                       239088-49-4P
                                                                      239088-52-9P
     239088-54-1P
                    239088-56-3P
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     239088-64-3P
                    239088-67-6P
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Audet 09/889178 Page 55

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of vancomycin-related antibacterial agents)
IT
    107-15-3, 1, 2-Ethanediamine, reactions 109-55-7, 3-
     (Dimethylamino) propylamine 110-60-1, 1, 4-Butanediamine
     Decanovl chloride 112-29-8, 1-Bromodecane
                                                  141-43-5, reactions
    626-15-3, .alpha.,.alpha.'-Dibromo-m-xylene
                                                   1404-93-9, Vancomycin
    hydrochloride 2873-74-7, Glutaryl dichloride 5680-79-5, Glycine methyl
     ester hydrochloride 5736-88-9, p-Butoxybenzaldehyde 34490-86-3,
    Dimethyl suberimidate dihydrochloride 57260-73-8 57530-93-5
    98577-77-6
                105496-31-9
                               239087-62-8
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                                 239087-90-2
                                               239087-95-7
     239087-69-5
                   239087-70-8
                                                             239088-01-8
     239088-08-5
                   239088-12-1
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of vancomycin-related antibacterial agents)
                                                       156939-62-7P
IT
     15196-28-8P, 2-(Decylamino) ethanol 62248-80-0P
                                   239087-72-0P
                                                  239087-74-2P
                                                                 239087-76-4P
     239087-63-9P
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     239087-77-5P
                    239087-79-7P
                                   239087-91-3P
                                   239088-05-2P
                                                  239088-13-2P
                                                                 239088-19-8P
     239088-02-9P
                    239088-03-0P
     239091-79-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of vancomycin-related antibacterial agents)
     239088-22-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of vancomycin-related antibacterial agents)
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE. CNT 4
(1) Eli Lilly and Company; WO 9738706 A1 1997 HCAPLUS
(2) Eli Lilly and Company; WO 9852589 Al 1998 HCAPLUS
(3) Staroske, T; Tetrahedron Letters 1998, V39, P4917 HCAPLUS
(4) Sundram, U; J Am Chem Soc 1996, V118, P13107 HCAPLUS
     239087-86-6P 239087-92-4P 239087-96-8P
IT
     239088-07-4P 239088-09-6P 239088-11-0P
     239088-15-4P 239088-33-6P 239088-35-8P
     239088-37-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of vancomycin-related antibacterial agents)
RN
     239087-86-6 HCAPLUS
    Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-
CN
     (dimethylamino)propyl]amino]carbonyl]-, (56. fwdarw. 2''), (56'. fwdarw. 2''')-
     diamide with 1, 1'-(1, 5-dioxo-1, 5-pentanediyl)bis[.beta.-alanyl-.beta.-
     alanine], trifluoroacetate (salt) (9CI) (CA INDEX NAME)
     CM
          1
     CRN
         239087-85-5
     CMF C163 H208 C14 N28 052
```

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

PAGE 2-B

PAGE 2-D

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 239087-92-4 HCAPLUS

CN Vancomycin, N3''-[2-[[4-[(2-aminoethyl)amino]-1,4-dioxobutyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Me2N-

PAGE 2-B

PAGE 3-A

R4 R3

PAGE 5-A

PAGE 6-A

PAGE 7-A

- RN 239087-96-8 HCAPLUS
- Vancomycin, N3''-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine]

(2. fwdarw. 26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-A

PAGE 3-A

PAGE 2-B

R2

$$R_3$$

PAGE 5-A

PAGE 5-B

 ${\sim}_{Bu\text{-}i}$

PAGE 7-A

RN 239088-07-4 HCAPLUS

CN Vancomycin, N3''-[2-[[5-[(2-aminoethyl)amino]-1,5-dioxopentyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with N3''-[(4-butoxyphenyl)methyl]vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-06-3

CMF C157 H191 C14 N23 O49

Absolute stereochemistry.

PAGE 1-B

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 \sim NH₂

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$$NMe_2$$

PAGE 2-B

PAGE 3-A

PAGE 4-A

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 239088-09-6 HCAPLUS
CN Vancomycin, N3''-[2-[[8-[(2-aminoethy1)amino]-1,8-diiminoocty1]amino]ethy1]-26-decarboxy-26-[[[3-(dimethy1amino)propy1]amino]carbony1]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Me2N-

PAGE 2-B

PAGE 3-A

R4 R3

PAGE 5-A

PAGE 5-B

∼Bu-i

PAGE 7-A

RN 239088-11-0 HCAPLUS

Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (56'''. fwdarw. 2')-amide with 1, 1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine] (2. fwdarw. 26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-10-9

CMF C158 H197 C14 N27 O52

Audet 09/889178

PAGE 1-A

PAGE 1-C

PAGE 2-A

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 H_1
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 H_1

PAGE 2-B

PAGE 2-C

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

PAGE 3-A

OH

PAGE 3-C

OH Me NH2

CM 2

CRN 76-05-1 CMF C2 H F3 02

F-C-CO2H

RN

239088-15-4 HCAPLUS

CN Vancomycin, 29-[[(2-aminoethyl)amino]methyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (29.fwdarw.58)-amide with vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-14-3

CMF C140 H168 C14 N22 O46

PAGE 1-A

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PAGE 4-A

CM

CRN 76-05-1 CMF C2 H F3 O2

RN

CN

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-B

Me2N----

PAGE 2-C

RN

239088-35-8 HCAPLUS Vancomycin, N3'', N3'''-[[4-[(1,2-dioxopentadecyl)amino]-1,7-dioxo-1,7-heptanediyl]bis(imino-2,1-ethanediyl)]bis[26-decarboxy-26-[[[3-CN (dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Me2N----

PAGE 1-C

PAGE 2-B

PAGE 2-C

RN 239088-37-0 HCAPLUS

CN Vancomycin, N3'', N3'''-[[5-(phenylmethoxy)-1, 3-phenylene]bis(carbonylimino-2, 1-ethanediyl)]bis[26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

 $Absolute \ stereochemistry.\\$

PAGE 1-A

PAGE 1-B

PAGE 2-A

Search done by Noble Jarrell

PAGE 2-C

 NH_2

- L42 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:152312 HCAPLUS
- DN 130:196959
- ED Entered STN: 09 Mar 1999
- TI Solid-phase synthesis of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries
- IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna
- ${\tt PA} \quad {\tt Chiron \ Corporation, \ USA}$
- SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 277, 228, abandoned. CODEN: USXXAM
- DT Patent
- LA English
- IC ICM C07K001-04
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- CC 34-3 (Amino Acids, Peptides, and Proteins)

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                                              EP 2002-77404
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     A solid-phase method for the synthesis of N-substituted oligomers, such as
     poly (N-substituted glycines) (referred to herein as poly NSGs) is used to
     obtain oligomers, such as poly NSGs of potential therapeutic interest
     which poly NSGs can have a wide variety of side chain substituents.
     N-substituted glycine monomer is assembled from two "sub-monomers"
     directly on the solid support. Each cycle of monomer addition consists of
     two steps: (1) acylation of a secondary amine bound to the support with an
     acylating agent comprising a leaving group capable of nucleophilic
     displacement by NH2, such as a haloacetic acid, and (2) introduction of
     the side chain by nucleophilic displacement of the leaving group, such as
     halogen (as a solid support-bound .alpha.-haloacetamide) with a sufficient
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Section cross-reference(s): 21

FAN. CNT 3

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amount of a second sub-monomer comprising an NH2 group, such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate, or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. The efficient synthesis of a wide variety of oligomeric NSGs using automated synthesis technol. of the present method makes these oligomers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries. The oligomers of the invention, such as N-substituted glycines (i.e. poly NSGs) disclosed here provide a new class of peptide-like compds. not found in nature, but which are synthetically accessible and have been shown to possess significant biol. activity and proteolytic stability. Combinatorial libraries of cyclic compds. are disclosed wherein the cyclic compds. are comprised of at least one ring structure derived from cyclization of a peptoid backbone. The diversity of product compds. is generated by the sequential addition of substituted submonomers. The combinatorial library includes 10 or more, preferably 100 or more, and more preferably 1,000 or more distinct and different compds. The library includes each of the product compds. in retrievable and analyzable amts. and preferably includes at least one biol. active compound Methods of synthesizing the combinatorial libraries and assay devices produced using the libraries are disclosed, as is methodol. for screening for and obtaining biol. active cyclic organic compds.

ST substituted glycine peptide combinatorial library solid phase prepn; nitrogen heterocycle peptidomimetic combinatorial library solid phase prepn

IT Heterocyclic compounds

RL: SPN (Synthetic preparation); PREP (Preparation)
(nitrogen, combinatorial library mixts.; solid-phase preparation of
N-substituted glycine peptide combinatorial libraries and nitrogen
heterocycle combinatorial libraries)

IT Solid phase synthesis

(peptide; solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT Combinatorial library

Peptide library

Peptidomimetics

Solid phase synthesis

(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT Amino acids, reactions

IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

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RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase preparation of N-substituted glycine peptide combinatorial

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(1) Anon: EP 1037474 1966
(2) Anon; DE 2447305 1975 HCAPLUS
(3) Cook; US 5539083 1996 HCAPLUS
(4) Cosani, A; Macromolecules 1978, V11(5), P1041 HCAPLUS
(5) Ellman; US 5288514 1994 HCAPLUS
(6) Geysen; US 5194392 1993 HCAPLUS
(7) Greenbelt; US 3634364 1972 HCAPLUS
(8) Huebner: US 5182366 1993 HCAPLUS
(9) Kasica, H; Journal of Polymer Science Part A-1 V6, P1615 HCAPLUS
(10) Marcincin, A; Plasty Kauc 1975, V12, P101 HCAPLUS
(11) Pirrung; US 5143854 1992 HCAPLUS
(12) Rutter; US 5225533 1993 HCAPLUS
(13) Rutter; US 5266684 1993 HCAPLUS
(14) Simon, R; Proc Natl Acad Sci USA 1992, V89, P9367 HCAPLUS
(15) Zuckerman, R; Chemtracts-Macromolecular Chemistry 1993, V4, P80
(16) Zuckerman, R; J Am Chem Soc 1992, V114, P10646
(17) Zuckermann; US 5252296 1993
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(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)
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PAGE 2-C

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                         A61K041-00
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                        G01T001/202
     Methods and compns. are provided for forming complexes between dsDNA and
     oligomers of heterocycles, aliphatic amino acids, particularly omega-amino
     acids, and a polar end group. By appropriate choice of target sequences
     and composition of the oligomers, complexes are obtained with low dissociation
     consts. The formation of complexes can be used for identification of
     specific dsDNA sequences, for inhibiting gene transcription, and as a
     therapeutic for inhibiting proliferation of undesired cells or expression
     of undesired genes. A polyamide which binds to the DNA sequence AGTACT is
ST
     transcriptional regulation polyamide DNA interaction alanine
     Amino acids, biological studies
     RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
     (Biological study)
        (DNA complexes with; complex formation between dsDNA and oligomer of
        heterocycles)
ΙT
     Chromosome
     Virus
        (DNA of; complex formation between dsDNA and oligomer of heterocycles)
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        (DNA-binding; complex formation between dsDNA and oligomer of
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IT
     Transcription factors
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (TFIIIA (transcription factor IIIA), polyamide effect on; complex
        formation between dsDNA and oligomer of heterocycles)
IT
     Molecular association
     Transcriptional regulation
        (complex formation between dsDNA and oligomer of heterocycles)
IT
     RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
     (Biological study)
        (complex formation between dsDNA and oligomer of heterocycles)
IT
     RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
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     58-85-5, Biotin
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                      20830-75-5, Digoxin
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     biological studies 107-95-9, .beta.-Alanine
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
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        heterocycles)
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(1) Cook; US 5459255 A 1995 HCAPLUS
(2) Dervan; US 4795700 A 1989 HCAPLUS
(3) Lown; US 4912199 A 1990 HCAPLUS
(4) Lown; US 5616606 A 1997 HCAPLUS
    180530-17-0P
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     yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)
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PAGE 1-B

PAGE 2-A

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- L42 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1998:744963 HCAPLUS
- DN 130:14267
- ED Entered STN: 24 Nov 1998
- TI Sequence specificity in complex formation between double stranded DNA and heterocycle oligomers
- IN Dervan, Peter; Gottesfield, Joel M.
- PA California Institute of Technology, USA
- SO PCT Int. Appl., 63 pp.
 - CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM A61K038-00
 - ICS A61K038-04; A61K038-08; C12Q001-68; C12N005-00; C12N005-06
- CC 34-3 (Amino Acids, Peptides, and Proteins)

Page 93

Audet 09/889178 Section cross-reference(s): 1, 3, 28 FAN. CNT 11 DATE PATENT NO. KIND APPLICATION NO. DATE 19970721 <--PΤ WO 9850058 A1 19981112 WO 1997-US12733 AL. AM. AT. AU. AZ. BA. BB. BG. BR. BY. CA. CH. CN. CU. CZ. DE. W: DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 5998140 19991207 US 1997-853525 19970508 <--Α CA 1997-2299455 19970721 <---CA 2299455 AA 19981112 AU 9737347 A1 19981127 AU 1997-37347 19970721 <-~ AU 747998 **B2** 20020530 19970721 <---EP 1997-934244 EP 991417 A1 20000412 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI 19970508 <---PRAI US 1997-853525 Α US 1996-23309P P 19960731 <--US 1996-24374P P 19960801 P 19960925 US 1996-26713P US 1997-38384P 19970214 US 1997-837524 19970421 WO 1997-US12733 19970721 CLASS CLASS PATENT FAMILY CLASSIFICATION CODES PATENT NO. WO 9850058 ICM A61K038-00 A61K038-04; A61K038-08; C12Q001-68; C12N005-00; ICS C12N005-06 WO 9850058 **ECLA** C12N015/63 <--US 5998140 **ECLA** A61K047/48R2T; C07D207/34; C07D233/90; C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02<--Methods and compns. are provided for forming complexes intracellularly AB

between dsDNA and oligomers of heterocycles, aliphatic amino acids, particularly .omega. -amino acids, and a polar end group. By appropriate choice of target sequences and composition of the oligomers, complexes are obtained with low dissociation consts. The formation of complexes can be used for modifying the phenotype of cells, either prokaryotic or eukaryotic, for research and therapy.

ST nitrogen heterocycle oligomer sequence specific DNA binding; imidazole pyrrole oligomer sequence specific DNA binding

IT DNA

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(double-stranded; sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

DNA sequences IT Gene therapy

(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

180530-17-0P 180530-18-1P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

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179259-17-7P 215437-51-7P 215437-59-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (sequence specificity in complex formation between double stranded DNA and heterocycle oligomers) 216010-79-6 216010-85-4 216010-86-5 216010-78-5 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process) (sequence specificity in complex formation between double stranded DNA and heterocycle oligomers) IT 76-02-8, Trichloroacetyl chloride 96-54-8, N-Methylpyrrole N-Methylimidazole 23911-25-3, EDTA dianhydride 57294-38-9, 4-tert-Butoxycarbonylaminobutyric acid RL: RCT (Reactant); RACT (Reactant or reagent) (sequence specificity in complex formation between double stranded DNA and heterocycle oligomers) 13138-76-6P, Methyl 1-methyl-4-nitro-2-pyrrolecarboxylate 1-Methyl-2-(trichloroacetyl)pyrrole 30148-21-1P, Ethyl 1-methylimidazole-2-carboxylate 72083-62-6P, Methyl 4-amino-1-methyl-2pyrrolecarboxylate 77716-11-1P 77716-16-6P 109012-23-9P 180258-47-3P 128293-62-9P 128293-64-1P 120122-47-6P 180258-48-4P 195387-60-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (sequence specificity in complex formation between double stranded DNA and heterocycle oligomers) RE. CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD (1) Cho; Proc Natl Acad Sci USA 1995, V92, P10389 HCAPLUS (2) Kelly; Proc Natl Acad Sci USA 1996, V93, P6981 HCAPLUS (3) Mrkisch; J Am Chem Soc 1994, V116, P3663 (4) Pilch; Proc Natl Acad Sci USA 1996, V93, P8306 HCAPLUS (5) Trauger; Nature 1996, V382, P559 HCAPLUS (6) Wade; J Am Chem Soc 1992, V114, P8783 HCAPLUS (7) White; Biochemistry 1996, V35(38), P12532 HCAPLUS 180530-17-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (sequence specificity in complex formation between double stranded DNA and heterocycle oligomers) RN 180530-17-0 HCAPLUS 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-CN (dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1Hpyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[1-methyl-4-[1]]]]]]]]]]methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-

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PAGE 2-A

- L42 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1998:721676 HCAPLUS
- DN 129:343723
- ED Entered STN: 13 Nov 1998
- TI Preparation of DNA-binding pyrrole and imidazole polyamide derivatives
- IN Dervan, Peter B.
- PA California Institute of Technology, USA
- SO PCT Int. Appl., 243 pp. CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM C07D207-34
 - ICS C07D233-90; A61K031-415; C07D403-14
- CC 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 1, 6

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                        C07K007/04; C08G069/00; C12Q001/68B12; G01T001/20A;
                        G01T001/202
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Novel small mol. polyamides I [each R1, R5, R7, R8, R10 = independently H, C1, NO, Ac, PhCH2, C1-6 alkyl, C1-6 alkylamine, C1-6 alkyldiamine, C1-6 alkylcarboxylate, C1-6 alkenyl, C1-6 alkynyl; R2 = H, NH2, SH, C1, Br, F, Ac, CHO; each R3, R6, R9, R11 = independently H, NH2, OH, SH, Br, C1, F, OMe, CH2OH, CH2SH, CH2NH2; R4 = NH(CH2) 0-6NR12R13, NH(CH2) 0-6CONH(CH2) 0-6NR12R13, NHR12, NH(CH2)0-6CONHR12; R12, R13 = independently H, C1, NH, Ac, CH2Ph, C1-6 alkyl, C1-6 alkylamine, C1-6 alkyldiamine, C1-6 alkylcarboxylate, C1-6 alkenyl, C1-6-L; L = biotin, oligodeoxynucleotide, N-ethylnitrosourea, fluorescein, bromoacetamide, iodoacetamide, DL-.alpha.-lipoic acid, acridine, Ethyl Red, 4-(psoralen-8-yloxy)butyrate, tartaric acid, (+)-.alpha.-tocopheral, C1-6 alkynyl; each X-X4 = independently N, CH, C(OH), CMe, CNH2, CCl, CF; each a, c, e, h = independently 0-10; each b, d, f, g, i, m, n, p, q = independently 0-5that specifically bind with subnanomolar affinity to any predetd. sequence in the human genome with potential use in mol. biol. and human medicine are described. Further, the designed compds. which target the minor groove of B-form double helical DNA offer a general approach for the control of gene-expression. Simple rules are disclosed which provide for rational control of the DNA-binding sequence specificity of synthetic polyamides containing N-methylpyrrole and N-methylimidazole amino acids. A series of mol. templates for polyamide design are disclosed which provide for small mols. which recognize predetd. DNA sequences with affinities and specificities comparable to sequence specific DNA-binding proteins such as transcription factors. Thus, designed polyamide II, prepared by solid-phase methods, showed recognition for oligonucleotide 5'-AACCAAGTCTTGGTA-3' with Ka = 4 .times. 108 M-1, and specificities of 11 and 19 for the match site vs. a center mismatch and an edge mismatch, resp.

ST pyrrole imidazole polyamide prepn DNA binding; sequence specificity pyrrole polyamide DNA binding

IT DNA sequences

(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

IT DNA

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

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215438-36-1P
               215438-37-2P
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215438-41-8P 215438-42-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.) IT 178397-39-2P 178397-40-5P 178397-41-6P 178397-42-7P 178397-43-8P 178435-88-6P 178435-89-7P 178435-91-1P 179259-20-2P 180737-06-8P 180737-07-9P 180530-17-0P 180530-18-1P 180737-08-0P 180737-09-1P 180737-10-4P 180737-11-5P 191916-00-4P 193743-36-1P 193743-37-2P 195387-68-9P 195387-75-8P 195387-96-3P 195387-98-5P 201218-45-3P 201218-47-5P 201218-49-7P 210298-46-7P 210972-60-4P 210972-61-5P 215437-48-2P 215437-49-3P 215437-50-6P 215437-51-7P 215437-52-8P 215437-53-9P 215437-55-1P 215437-56-2P 215437-57-3P 215437-58-4P 215437-59-5P 215437-60-8P 215437-61-9P 215437-62-0P 215437-63-1P 215437-64-2P 215437-65-3P 215437-67-5P 215437-68-6P 215437-69-7P 215437-70-0P 215437-66-4P 215437-73-3P 215437-75-5P 215437-72-2P 215437-74-4P 215437-71-1P 215437-76-6P 215437-80-2P 215437-85-7P 215437-86-8P 215437-87-9P 215437-89-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.) ΙT 84640-20-0 94855-03-5 193743-33-8 215517-33-2 215517-35-4 215517-37-6 RL: PRP (Properties) (preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.) 76-02-8, Trichloroacetyl chloride 79-08-3, Bromoacetic acid 105-83-9, 3, 3'-Diamino-N-methyldipropylamine 109-55-7, 1-Methylpyrrole 3-(N, N-Dimethylamino) propylamine 616-47-7, 1-Methylimidazole 57294-38-9, 20485-43-2 23911-25-3, EDTA dianhydride 66442-94-2, p-Carboxymethidium 4-(tert-Butoxycarbonylamino)butyric acid 79642-50-5 122745-41-9 126093-01-4 215437-88-0 78486-18-7 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.) 13138-76-6P, Methyl 1-methyl-4-nitropyrrole-2-carboxylate 21898-65-7P, 30148-21-1P, Ethyl 1-Methyl-2-trichloroacetylpyrrole 1-methylimidazole-2-carboxylate 77716-11-1P 77716-16-6P 109012-23-9P 128293-64-1P 180076-91-9P 180258-45-1P 120122-47-6P 180258-46-2P 180258-47-3P 180258-48-4P 195387-60-1P 215437-77-7P 215437-78-8P 215437-79-9P 215437-81-3P 215437-82-4P 215437-84-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.) RE. CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD RE (1) Baird, E; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6141

- **HCAPLUS**
- (2) California Institute Of Technology; WO 9730975 A 1997 HCAPLUS
- (3) Herman, D; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1998, V120(7), P1382 **HCAPLUS**
- (4) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6147 **HCAPLUS**
- (5) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118 (26), P6153

HCAPLUS

(6) Swalley, S; CHEMISTRY - A EUROPEAN JOURNAL 1997, V3(10), P1600 HCAPLUS

(7) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS

(8) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(30), P6953 HCAPLUS

(9) Trauger, J; NATURE 1996, V382(6591), P559 HCAPLUS

(10) Turner, J: JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(33), P7634

IT 180530-17-0P 193743-36-1P 193743-37-2P 195387-68-9P 195387-75-8P 195387-96-3P 195387-98-5P 201218-45-3P 201218-47-5P 201218-49-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

RN 180530-17-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[5-[[5-[[5-[[3-[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[1-methyl-4-[[1-methyl-4-[[1-methyl-4-[[1-methyl-4-[1-methyl-

PAGE 1-A

PAGE 1-B

6

RN 193743-36-1 HCAPLUS

CN (dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl- $1 \\ H-pyrrol-3-yl]-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]\\ amino]-1 \\ H-pyrrol-3-yl]$ (9CI) (CA INDEX NAME)

PAGE 1-B

RN 193743-37-2 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[4-[[2-[[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

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RN 195387-68-9 HCAPLUS

PAGE 1-A

PAGE 2-B

RN 195387-75-8 HCAPLUS

PAGE 1-B

RN 195387-96-3 HCAPLUS

PAGE 1-A

PAGE 1-B

RN 195387-98-5 HCAPLUS

PAGE 1-A

PAGE 1-B

RN 201218-45-3 HCAPLUS

CN .beta.-Alaninamide, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-1H-pyrrole-1H-pyrrole-1H-pyrrole-1H-pyrrole-1H-pyrrole-1H-pyrole-1H-

RN 201218-47-5 HCAPLUS

CN .beta.-Alaninamide, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 201218-49-7 HCAPLUS

CN .beta. -Alaninamide, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

IT 180076-91-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

RN 180076-91-9 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(4-amino-1-methyl-1H-pyrrol-2-y1)carbonyl]amino]-1-methyl-1H-pyrrol-2-y1]carbonyl]amino]-N-[5-[[[5-[[5-[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]-1-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 107561-33-1 CMF C41 H50 N14 06

PAGE 1-A

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CRN 76-05-1 CMF C2 H F3 O2

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- L42 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1998:682381 HCAPLUS
- DN 129:290444
- Entered STN: 28 Oct 1998 ED
- Stereochemical control of the DNA binding affinity, sequence specificity, and orientation-preference of chiral hairpin polyamides in the minor
- IN Baird, Eldon E.; Dervan, Peter B.
- California Institute of Technology, USA PA
- PCT Int. Appl., 80 pp. CODEN: PIXXD2
- DT Patent
- LA English
- IC ICM C07D403-14
- ICS C07D207-34; A61K031-415; C12Q001-68
- CC 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 1, 3, 28

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PRAI US 1996-607078
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     WO 1997-US3332
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     WO 1997-US12722
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                        C07D403/14R+231+207; C07K007/02
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x1x2x3x4x5x6?x7x8x9x10x11x12 I

- This invention provides improved polyamides I and II [X1/X12, X2/X11, AB X3/X10, X4/X9, X5/X8, X6/X7 = carboxamide binding pairs which bind DNA base pairs wherein at least one binding pair is Hp/Py or Py/Hp and the other is selected from the group Py/Im, Im/Py, Py/Py; X1/X12, X2/X11, and X3/X10 may be absent; .gamma. = .gamma.-aminobutyric acid, (R)-2, 4-diaminobutyric acid; L = .beta.-alanine, 5-aminovaleric acid; P = 0-10 polyamides I; Im = N-methylimidazole; Py = N-methylpyrrole; Hp = 3-hydroxy-N-methylpyrrole] comprising a hairpin loop derived from . gamma. -aminobutyric acid which bind to the minor groove of a promoter regions of a DNA sequence. Binding of the polyamide to the DNA sequence of the promoter region inhibits expression of the requisite gene. The improvement relates to the use of R-2, 4-diaminobutyric acid and derivs. of the 2-amino group to form the hairpin loop. The improved asym. hairpin provides for tighter binding of the polyamides to the minor groove of DNA and addnl. provides an amine function for derivatizing polyamides by, for example, forming amide linkages. Such derivs, may serve to attach detectable labels to the polyamide. Thus, hairpin polyamide III was prepared by machine-assisted solid-phase methods on a PAM resin. Hairpin III was specific for binding the DNA sequence 5'-TGTTA-3'as shown by DNase I footprint studies and NMR studies.
- ST DNA binding affinity diaminobutyric acid polyamide; chiral hairpin polyamide prepn DNA specificity; minor groove orientation chiral hairpin polyamide
- IT Gene

(regulation; stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT DNA sequences

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT DNA

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 26908-94-1DP, chiral hairpin polyamides containing 204921-44-8P 204921-51-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 204921-45-9P 204921-46-0P 204921-47-1P

204921-52-8P 204921-54-0P 214196-39-1P 214196-42-6P

214196-54-0P 214196-57-3P 214196-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 9003-98-9, DNase I

RL: CAT (Catalyst use); USES (Uses).

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 214196-61-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

105-83-9 109-55-7, 3-(Dimethylamino) propylamine 10045-89-3, Ferrous ammonium sulfate 17257-71-5, (S)-.alpha.-Methoxy-.alpha.-trifluoromethylphenylacetic acid 20445-31-2, (R)-.alpha.-Methoxy-.alpha.-trifluoromethylphenylacetic acid 23911-25-3, EDTA dianhydride RL: RCT (Reactant); RACT (Reactant or reagent)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

204921-49-3P 204921-50-6P 214196-25-5P 214196-34-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 214195-94-5P 214196-00-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RE. CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

ΙT

- (1) Herman, D; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1998, V120(7), P1382 HCAPLUS
- (2) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS
- IT 204921-44-8P 204921-51-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-44-8 HCAPLUS

CN .beta.-Alaninamide, N4-[2, 3, 4, 5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl]-(2R)-2, 4-diaminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

Absolute stereochemistry. Rotation (-).

PAGE 1-B

IT 204921-46-0P 204921-47-1P 204921-52-8P 204921-54-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-46-0 HCAPLUS

CN .beta.-Alaninamide, 2, 3, 4, 5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-(2R)-2-(acetylamino)-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

RN 204921-47-1 HCAPLUS

CN .beta.-Alaninamide, N-[2-[bis(carboxymethyl)amino]ethyl]-N(carboxymethyl)glycyl-N4-(1-methyl-1H-imidazole-2-carbonyl-4-amino-1methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl)-(2R)2, 4-diaminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 204921-52-8 HCAPLUS

CN .beta.-Alaninamide, N4-[2, 3, 4, 5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl]-(2S)-2-(acetylamino)-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

PAGE 1-B

RN 204921-54-0 HCAPLUS

CN .beta.-Alaninamide, N-[2-[bis(carboxymethyl)amino]ethyl]-N(carboxymethyl)glycyl-N4-(1-methyl-1H-imidazole-2-carbonyl-4-amino-1methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl)-(2S)2, 4-diaminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

IT 204921-49-3P 204921-50-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-49-3 HCAPLUS

CN

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Absolute stereochemistry.

PAGE 1-A

RN 204921-50-6 HCAPLUS

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Absolute stereochemistry.

PAGE 1-B

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PAGE 1-C

US 1997-38384P

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     California Institute of Technology, USA
    PCT Int. Appl., 260 pp.
     CODEN: PIXXD2
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   (design and use of specific polyamide DNA-binding ligands for
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         THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
```

RE. CNT 8

- (1) Baird, E; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118 (26), P6141
- Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6147 HCAPLUS
- (3) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118 (26), P6153 HCAPLUS
- (4) Pharmacia; WO 9605196 A 1996 HCAPLUS

IT

- (5) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198
- (6) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(30), P6953 HCAPLUS
- (7) Trauger, J; NATURE 1996, V382(6591), P559 HCAPLUS
- (8) Walker, W; PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES, U S A 1997, V94(11), P5634 HCAPLUS
- ΙT 193743-36-1 193743-37-2 206128-30-5 206128-31-6

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

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 modulation of gene expression)
193743-36-1 HCAPLUS
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(9CI) (CA INDEX NAME)

RN 193743-37-2 HCAPLUS
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RN 206128-30-5 HCAPLUS

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PAGE 2-A

RN 206128-31-6 HCAPLUS

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PAGE 1-B

PAGE 2-B

L42 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:604904 HCAPLUS

DN 129:198863

ED Entered STN: 24 Sep 1998

TI Polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression

IN Baird, Eldon E.; Dervan, Peter B.

PA California Institute of Technology, USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D207-34

ICS C07D233-90; A61K031-415; C07D403-14; C12Q001-68

3-1 (Biochemical Genetics) Section cross-reference(s): 27 FAN. CNT 11 PATENT NO. KIND DATE APPLICATION NO. DATE PΙ WO 9837066 A1 19980827 WO 1998-US1006 19980121 <--W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, PT, UG, US, US, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH. GM. KE. LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, FR. GB. GR. ML, MR, NE, SN, TD, TG GA, GN, US 6090947 A 20000718 US 1996-607078 19960226 <---US 1997-837524 US 6143901 A 20001107 19970421 <--US 1997-853522 19970508 <---US 6635417 20031021 **B1** CA 2281947 19980827 CA 1998-2281947 19980121 <--AA AU 9864334 AU 1998-64334 19980121 <---A1 19980909 AU 734715 **B2** 20010621 EP 968186 20000105 EP 1998-909979 19980121 <---A 1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, R: IE, FI JP 2001513759 T2 20010904 JP 1998-536628 19980121 <---US 6472537 B1 20021029 US 1999-372473 19990811 <--PRAI US 1996-607078 A2 19960226 Р US 1997-43444P 19970408 P US 1997-42022P 19970416 US 1997-837524 A2 19970421 US 1997-853522 A2 19970508 P US 1996-23309P 19960731 US 1996-24374P P 19960801 US 1996-26713P P 19960925 P US 1997-38384P 19970214 WO 1997-US3332 A2 19970220 WO 1997-US12722 19970721 Α WO 1998-US1006 W 19980121 CLASS PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES WO 9837066 ICM C07D207-34 ICS C07D233-90; A61K031-415; C07D403-14; C12Q001-68 **ECLA** A61K047/48K6; C12Q001/68B12; C07D207/34; C07D233/90; WO 9837066 C07D403/14R+233+207; C07D403/14R+231+207; C07K005/06H2; C07K007/02; C07K007/04; C08G069/00 **ECLA** C07D207/34; C07D403/14R+233+207; C07D403/14R+231+207; US 6090947 CO7K005/06H2C; CO7K005/06H2; CO7K007/02; CO7K; C08G069/00: C12Q001/68B12: C07D233/90 US 6143901 **ECLA** A61K047/48R2T A61K047/48R2T; C07D207/34; C07D233/90; US 6635417 **ECLA** C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02<--A61K047/48R2T; C07K007/04; C08G069/00; C12Q001/68B12; US 6472537 **ECLA** CO7D207/34; CO7D233/90; CO7D403/14R+233+207; CO7D403/14R+231+207; CO7K005/06H2; CO7K005/06H2C; C07K007/02 The invention encompasses improved polyamides for binding to specific nucleotide sequences in the minor groove of double-stranded DNA. The polyamides are in the form of a hairpin comprising two groups of a least three consecutive carboxamide residues, the two groups covalently linked by an aliphatic amino acid reside, preferably .gamma.-aminobutyric acid or

2, 4-diaminobutyric acid, the consecutive carboxamide residues of the first group pairing in an antiparallel manner with the consecutive carboxamide residues of the second group in the minor groove of double-stranded DNA. The 3-hydroxy-N-methylpyrrole/N-methylpyrrole carboxamide pair specifically recognizes the T.A base pair, while the N-methylpyrrole/3-hydroxy-N-methylpyrrole pair recognizes A.T nucleotide pairs. Similarly, an N-methylimidizole/N-methylpyrrole carboxamide pair specifically recognizes the G.C nucleotide pair, and the N-methylpyrrole/N-methylimidizole carboxamide pair recognizes the C.G nucleotide pair. Preferably, the binding of the polyamide to the DNA modulates the expression of a gene. Increased specificity of 3-hydroxy-N-methylpyrrole-containing polyamides was demonstrated. Polyamide-EDTA conjugates were prepared and used in DNA cleavage. Inclusion of .beta.-alanine in the carboxamide was also shown to improve specificity of pyrrole-imidazole-containing polyamides.

ST polyamide pyrrole hydroxypyrrole DNA binding; gene expression polyamide pyrrole hydroxypyrrole contg

IT Gene

(expression; polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT DNA

Gene

Promoter (genetic element)

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT Polyamides, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT 212184-33-3P 212184-36-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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RL: BPR (Biological process); BSU (Biological study, unclassified); PEP
(Physical, engineering or chemical process); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation); PROC (Process)
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(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT 65171-82-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT 212184-25-3P 212184-26-4P 212184-27-5P 212184-28-6P 212184-29-7P 212184-30-0P 212184-31-1P 212184-32-2P 212184-34-4P 212184-35-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

RE. CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

- (1) Baird, E; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6141 HCAPLUS
- (2) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6147 HCAPLUS
- (3) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6153 HCAPLUS
- (4) Pharmacia; WO 9605196 A 1996 HCAPLUS
- (5) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS

- (6) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(30), P6953 HCAPLUS
- (7) Trauger, J; NATURE 1996, V382(6591), P559 HCAPLUS
- (8) Walker, W. PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES, U S A 1997, V94(11), P5634 HCAPLUS
- IT 193743-37-2P 206128-30-5P 206128-31-6P

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

RN 193743-37-2 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[4-[[2-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazol-4-yl]amino]-4-[[[1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

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PAGE 2-A

RN 206128-30-5 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[5-[[4-[[2-[[5-[[5-[[5-[[3-[[3-(dimethylamino) propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-4-hydroxy-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)

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RN 206128-31-6 HCAPLUS

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PAGE 2-B

L42 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:568743 HCAPLUS

DN 129:184244

ED Entered STN: 07 Sep 1998

TI Inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands

IN Gottesfeld, Joel M.; Dervan, Peter B.; Mosier, Donald E.; Baird, Eldon E.

PA California Institute of Technology, USA; The Scripps Research Institute

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K047-48

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CLASS
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AB
    The invention provides polyamides suitable for modulating cellular or
     viral gene expression by binding to an identified target DNA sequence
     adjacent to the binding site of a minor groove transcription factor
     protein. The polyamides of the present invention are useful for the
     treatment of a human infected with a virus such as HIV-1. The polyamides
     of the present invention are also useful for the treatment of conditions,
     such as cancers, that result from the expression or over-expression of
     cellular genes, particularly oncogenes.
ST
     gene transcription inhibitor antitumor virucide
IT
    rRNA
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (5 S; inhibition of viral or cancer gene transcription by polyamide
        DNA-binding ligands)
     Proteins, specific or class
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (DNA-binding, zinc finger-containing, TFIIIA, 5S rRNA binding of;
        inhibition of viral or cancer gene transcription by polyamide
        DNA-binding ligands)
    Transcription factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (Ets-1, binding sites of; inhibition of viral or cancer gene
        transcription by polyamide DNA-binding ligands)
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     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (LEF-1, binding sites of; inhibition of viral or cancer gene
        transcription by polyamide DNA-binding ligands)
     Transcription factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TBP, binding sites of; inhibition of viral or cancer gene
        transcription by polyamide DNA-binding ligands)
IT
     Transcription factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TFIIA, binding sites of; inhibition of viral or cancer gene
        transcription by polyamide DNA-binding ligands)
IT
     Mammary gland
     Mammary gland
     Mammary gland
     Ovary, neoplasm
        (adenocarcinoma, inhibitors; inhibition of viral or cancer gene
        transcription by polyamide DNA-binding ligands)
IT
     Gene, animal
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study): PROC (Process)
        (c-erbB2; inhibition of viral or cancer gene transcription by polyamide
        DNA-binding ligands)
IT
     Antitumor agents
     Antitumor agents
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Page 152

Audet 09/889178 (cervix adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Uterus, neoplasm Uterus, neoplasm (cervix, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Antitumor agents Antitumor agents (endometrium adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Uterus, neoplasm Uterus, neoplasm (endometrium, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Gene (expression; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Antibacterial agents Antiviral agents Bacteria (Eubacteria) Fungi Fungicides Human immunodeficiency virus 1 Protozoa Protozoacides Retroviridae Virus (inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands) Polyamides, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

Transcription, genetic IT

(inhibitors of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

Antitumor agents

Antitumor agents

Antitumor agents

(mammary gland adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

ΙT Transcription factors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (minor-groove, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Oviduct

ΙT

IΤ

ΙT

(neoplasm, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

Antitumor agents

(ovary adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Drug delivery systems

(parenterals; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT DNA sequences

> (targets; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

ΙT 180530-17-0 206128-28-1 211860-88-7 211860-89-8 211860-90-1 211860-91-2

Audet 09/889178

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RL: BPR (Biological process); BSU (Biological study, unclassified); PEP
     (Physical, engineering or chemical process); THU (Therapeutic use); BIOL
     (Biological study); PROC (Process); USES (Uses)
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        (inhibition of viral or cancer gene transcription by polyamide
        DNA-binding ligands)
TT
     107-95-9, .beta.-Alanine
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        (substitution by; inhibition of viral or cancer gene transcription by
        polyamide DNA-binding ligands)
              THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE. CNT 10
RE
(1) Cho, J; PROC NATL ACAD SCI U S A 1995, V92(22), P10389 HCAPLUS
(2) Genelabs Tech Inc; WO 9414980 A 1994 HCAPLUS
(3) Gottesfeld, J: NATURE (LONDON) 1997, V387 (6629), P202 HCAPLUS
(4) Microprobe Corp; WO 9632496 A 1996 HCAPLUS
(5) Neely, L; J MOL BIOL 1997, V274(4), P439 HCAPLUS
(6) Swalley, S; CHEM--EUR J 1997, V3(10), P1600 HCAPLUS
(7) Trauger, J; CHEM BIOL 1996, V3(5), P369 HCAPLUS(8) Trauger, J; NATURE (LONDON) 1996, V382(6591), P559 HCAPLUS
(9) Turner, J; J AM CHEM SOC 1997, V119(33), P7636 HCAPLUS
(10) White, S; NATURE (LONDON) 1998, V391 (6666), P468 HCAPLUS
     180530-17-0 206128-28-1
     RL: BPR (Biological process); BSU (Biological study, unclassified); PEP
     (Physical, engineering or chemical process); THU (Therapeutic use); BIOL
     (Biological study); PROC (Process); USES (Uses)
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        DNA-binding ligands)
     180530-17-0 HCAPLUS
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     1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-
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PAGE 1-B

PAGE 2-A

RN 206128-28-1 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[5-[[4-[[2-[[5-[[5-[[5-[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]amino]-(9CI) (CA INDEX NAME)



PAGE 1-B

PAGE 2-A

L42 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:579701 HCAPLUS

DN 127:263060

ED Entered STN: 11 Sep 1997

TI Preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides

IN Dervan, Peter B.; Baird, Eldon E.

PA California Institute of Technology, USA

SO PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D207-34 ICS C07D233-90

CC 34-3 (Amino Acids, Peptides, and Proteins)

L

Section cross-reference(s): 6, 27, 28, 33 FAN. CNT 11 PATENT NO. KIND DATE DATE APPLICATION NO. PΙ WO 9730975 A2 19970828 WO 1997-US3332 19970220 <--WO 9730975 A3 19971016 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, RO, RU, SD, SE, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, MC. NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, IE, IT, LU, MR, NE, SN, TD, TG US 6090947 Α 20000718 US 1996-607078 19960226 <---CA 2247889 CA 1997-2247889 AA 19970828 19970220 <---AU 9725268 19970910 AU 1997-25268 19970220 <--A 1 AU 735022 **B2** 20010628 EP 885189 A2 19981223 EP 1997-916720 19970220 <---20020828 EP 885189 B1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2000503324 T2 20000321 JP 1997-530425 19970220 <--JP 3231045 B2 20011119 AT 222890 E AT 1997-916720 20020915 19970220 <--US 6635417 B1 20031021 US 1997-853522 19970508 <---19980827 CA 2281947 AA CA 1998-2281947 19980121 <---AU 9864334 19980909 AU 1998-64334 A1 19980121 <---AU 734715 B2 20010621 EP 968186 A1 20000105 EP 1998-909979 19980121 <---R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2001513759 JP 1998-536628 T2 20010904 19980121 <--CA 2281930 AA 19980827 CA 1998-2281930 19980129 <---AU 9862552 19980909 **A**1 AU 1998-62552 19980129 <---CA 2281843 19981015 CA 1998-2281843 19980129 <--AA WO 9845284 19981015 WO 1998-US3829 A1 19980129 <---AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9867576 19981030 AU 1998-67576 19980129 <--**A**1 EP 973740 A1 20000126 EP 1998-904755 19980129 <---R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI 20000802 EP 1023288 EP 1998-912894 19980129 <--A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE. FI JP 2002514209 T2 20020514 JP 1998-542762 19980129 <--19980129 <---JP 2002515897 T2 20020528 JP 1998-536641 CA 2281948 AA 19980827 CA 1998-2281948 19980213 <--AU 9861588 A1 19980909 AU 1998-61588 19980213 <--AU 747668 B2 20020516 JP 2002514205 T2 20020514 JP 1998-536723 19980213 <---US 1999-359921 US 6545162 B1 20030408 19990722 <---US 6683189 R1 20040127 US 1999-360344 19990722 <---

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     MARPAT 127:263060
GΙ
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AB The present invention describes a novel method for the solid phase synthesis of polyamides containing imidazole- and pyrrolecarboxamides. The polyamides are prepared on a solid support from aromatic aminocarboxylic acids I and II (R1 = H, Me, OH, NH2, C1, CF3; R2 = C1-10 alkyl, C1-10 alkenyl, C1-10 alkynyl) and dimers III (R3 = pyrrole amino acid, imidazole amino acid, aromatic amino acid, aliphatic amino acid, or any modification thereof) with high stepwise coupling yields (>99%), providing milligram quantities of highly pure polyamides. The present invention also describes the synthesis of analogs of the natural products netropsin and distamycin A, two antiviral antibiotics. The present invention also describes a novel method for the solid phase synthesis of imidazole- and pyrrolecarboxamide polyamide-oligonucleotide conjugates. This methodol. will greatly increase both the complexity and quantity of minor-groove binding polyamides and minor-groove binding polyamide-oligonucleotide conjugates which can be synthesized and tested. Thus, polyamides such as Ac-Im-Im-Py-NH(CH2)3CO-Py-Py-Py-Gly-NH(CH2)3NMe2 (Im = 4-amino-1-methylimidazole-2-carboxylic acid, Py = 4-amino-1-methylpyrrole-2-carboxylic acid) were prepared by standard solid-phase methods on a 4-(oxymethyl) phenylacetamidomethyl (PAM) resin using N-protected building blocks I-III and resin cleavage with 3-(dimethylamino)propylamine. Oligonucleotide-polyamide conjugates were prepared similarly. polypyrrole building block prepn; polyimidazole building block prepn; aminopyrrolecarboxylic acid protected prepn coupling; aminoimidazolecarboxylic acid protected prepn coupling; imidazole pyrrole polyamide solid phase prepn; oligonucleotide polyamide conjugate solid

phase prepn; DNA polyamide conjugate solid phase prepn IT Oligonucleotides

RL: SPN (Synthetic preparation); PREP (Preparation) (conjugates, polyamide; preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (conjugates; preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT Solid phase synthesis

(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT Polyamides, preparation

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT 196003-78-8P 196003-79-9P 196003-80-2P 196003-81-3P 196003-82-4P 196003-83-5P 196003-84-6P 196003-85-7P 196003-86-8P 196003-87-9P 196003-88-0P 196003-89-1P 196003-90-4P 196003-91-5P 196003-92-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of polypyrrole and polyimidazole carboxamide building blocks · Audet 09/889178

Page 159

for solid-phase synthesis of polyamides as DNA minor groove binding agents) ΙT 70-11-1, .alpha.-Bromoacetophenone 96-54-8, N-Methylpyrrole 105-83-9 107-15-3, 1, 2-Ethanediamine, reactions 109-55-7, 3-(Dimethylamino) propylamine 459-73-4, Glycine ethyl ester Mucobromic acid 616-14-8, 1-Iodo-2-methylbutane N-Methylimidazole 5437-45-6, Benzyl bromoacetate 6232-88-8, 4-(Bromomethyl)benzoic acid 23911-25-3 57294-38-9 195387-10-1 65171-82-6 81329-81-9, EDTA monoanhydride 195387-58-7 195387-66-7 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents) 13138-74-4P, Methyl 4-nitropyrrole-2-carboxylate 13138-76-6P 30148-21-1P, Ethyl 1-methylimidazole-2-carboxylate 34461-00-2P, Sodium nitromalondialdehyde 35302-72-8P, 2-Trichloroacetylpyrrole 65171-90-6P 77716-11-1P 77716-13-3P 77716-16-6P 109012-23-9P 65171-98-4P 113100-79-1P 120122-47-6P 128293-64-1P 155815-95-5P 177937-13-2P 180258-45-1P 180258-46-2P 180258-47-3P 180258-48-4P 195387-21-4P 195387-25-8P 195387-27-0P 195387-29-2P 195387-31-6P 195387-33-8P 195387-36-1P 195387-38-3P 195387-40-7P 195387-42-9P 195387-45-2P 195387-46-3P 195387-47-4P 195387-51-0P 195387-54-3P 195387-55-4P 195387-56-5P 195387-57-6P 195387-59-8P 195387-60-1P 195387-61-2P 195387-62-3P 195387-63-4P 195387-64-5P 195631-53-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents) 636-47-5DP, Distamycin A, analogs 1438-30-8DP, Netropsin, analogs 178397-40-5P 195387-65-6P 195387-67-8P 195387-68-9P 195387-69-0P 195387-71-4P 195387-73-6P 195387-75-8P 195387-77-0P 195387-78-1P 195387-80-5P 195387-83-8P 195387-85-0P 195387-87-2P 195387-89-4P 195387-91-8P 195387-92-9P 195387-93-0P 195387-94-1P 195387-95-2P 195387-96-3P 195387-97-4P 195631-51-7P 195631-52-8P 195631-55-1P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents) ΙT 196003-78-8P 196003-79-9P 196003-80-2P 196003-81-3P 196003-82-4P 196003-83-5P 196003-84-6P 196003-85-7P 196003-86-8P 196003-87-9P 196003-88-0P 196003-89-1P 196003-90-4P 196003-91-5P 196003-92-6P RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents) RN 196003-78-8 HCAPLUS DNA, d(A-A-A-A-A-A-C-A-A-A-A-A-A), double-stranded complementary, compd. with N-[5-[[[5-[[[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbony]1]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX

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CRN 195538-75-1

CMF Unspecified

CCI MAN

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CRN 160030-20-6 CMF C42 H51 N15 07

PAGE 1-A

PAGE 1-B

RN 196003-79-9 HCAPLUS

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CRN 195538-75-1

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-75-8 CMF C44 H54 N16 08

PAGE 1-A

PAGE 1-B

RN 196003-80-2 HCAPLUS

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PAGE 1-A

PAGE 1-B

RN 196003-81-3 HCAPLUS

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*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 160030-20-6 CMF C42 H51 N15 07

PAGE 1-B

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CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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CRN 195387-75-8

CMF C44 H54 N16 O8

PAGE 1-B

RN 196003-83-5 HCAPLUS

CN DNA, d(A-T-A-T-A-G-A-C-A-T-A-T-A), double-stranded complementary, compd. with N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[3-[[3-([dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-76-2

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-96-3

CMF C45 H56 N16 08

PAGE 1-B

RN 196003-84-6 HCAPLUS

DNA, d(T-G-T-T-A-A-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[5-[[[5-[[[5-[[3-(dimethylamino)propyl]amino]carbonyl]-1-CN methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2oxoethyl] amino] carbonyl] - 1 - methyl - 1 H - pyrrol - 3 - yl] amino] carbonyl] - 1 - methyl - 1 H - pyrrol - 3 - yl] amino] carbonyl] - 1 - methyl - 1 H - pyrrol - 3 - yl] amino] carbonyl] - 1 - methyl - 1 H - pyrrol - 3 - yl] amino] carbonyl] - 1 - methyl - 1 H - pyrrol - 3 - yl] amino] carbonyl] - 1 - methyl H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-77-3 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 160030-20-6 CMF C42 H51 N15 O7

PAGE 1-B

RN 196003-85-7 HCAPLUS

CM 1

CRN 195538-77-3

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-75-8

CMF C44 H54 N16 08

PAGE 1-B

RN 196003-86-8 HCAPLUS

CM 1

CRN 195538-77-3

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-96-3

CMF C45 H56 N16 O8

PAGE 1-B

RN 196003-87-9 HCAPLUS

CM 1

CRN 195538-78-4

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 160030-20-6

CMF C42 H51 N15 07

PAGE 1-B

RN 196003-88-0 HCAPLUS

CM 1

CRN 195538-78-4

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-75-8 CMF C44 H54 N16 08

PAGE 1-B

RN 196003-89-1 HCAPLUS

CN DNA, d(C-G-T-T-T-A-C-A), double-stranded complementary, compd. with N-[5-[[5-[[5-[[5-[[5-[[5-[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-78-4

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-96-3 CMF C45 H56 N16 O8

PAGE 1-B

RN 196003-90-4 HCAPLUS

CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-79-5

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 160030-20-6

CMF C42 H51 N15 07

PAGE 1-B

RN 196003-91-5 HCAPLUS

CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[5-[[2-[5-[[5-[[5-[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-79-5 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-75-8 CMF C44 H54 N16 08

PAGE 1-B

RN 196003-92-6 HCAPLUS

CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]amino]carbonyl]-1-methyl-1H-pyrrol-3-y1]-1-methyl-1H-pyrrol-3-y1]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 195538-79-5

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 195387-96-3

CMF C45 H56 N16 O8

PAGE 1-B

IT 195387-64-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

RN 195387-64-5 HCAPLUS

PAGE 1-B

PAGE 2-A

IT 195387-65-6P 195387-68-9P 195387-69-0P 195387-71-4P 195387-75-8P 195387-77-0P 195387-78-1P 195387-80-5P 195387-83-8P

195387-85-0P 195387-87-2P 195387-89-4P

195387-91-8P 195387-92-9P 195387-93-0P

195387-94-1P 195387-95-2P 195387-96-3P

195387-98-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

RN 195387-65-6 HCAPLUS

CN 2, 5, 8, 11, 14, 17, 20, 25, 28, 31, 34, 37, 40, 43, 46, 49, 54, 57, 60, 62, 64, 66-Docosaazanonacyclo[54. 2. 1. 14, 7. 110, 13. 116, 19. 127, 30. 133, 36. 139, 42. 145, 48]h

exahexaconta-4(66), 6, 10(65), 12, 16(64), 18, 27(63), 29, 33(62), 35, 39(61), 41, 45(60), 47, 56(59), 58-hexadecaene-57-acetamide, N-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]-5, <math>11, 17, 28, 34, 40, 46-heptamethyl-3, 9, 15, 21, 26, 32, 38, 44, 50, 55-decaoxo-(9CI) (CA INDEX NAME)

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195387-68-9 HCAPLUS

RN

CN

PAGE 1-A

PAGE 1-B

PAGE 2-B

RN 195387-69-0 HCAPLUS

RN 195387-71-4 HCAPLUS

CN 2,5,9,13,16,19-Hexaazaheneicosan-21-oic acid, 16,19-bis(carboxymethyl)-9-methyl-1-[1-methyl-4-[[1-methyl-4-[[1-methyl-4-[[1-methyl-4-[[1-methyl-4-[[1-methyl-4-

PAGE 1-A

PAGE 1-B

PAGE 2-B

RN 195387-75-8 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[5-[[5-[[5-[[5-[[5-[[5-[[5-[[5-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 195387-77-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

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PAGE 2-A

AcNH

CN

RN 195387-78-1 HCAPLUS

PAGE 1-A

PAGE 1-B

PAGE 2-A

AcNH

RN 195387-80-5 HCAPLUS

Glycinamide, 4-[[[4-[[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]acetyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]acetyl]amino]-1-methyl-1H-pyrrol-2-

yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-2, 3, 4, 5-tetradehydro-1-methylprolyl-N-[15-carboxy-11, 14-bis(carboxymethyl)-4-methyl-9-oxo-4, 8, 11, 14-tetraazapentadec-1-yl]- (9CI) (CA INDEX NAME)

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AcNH

RN 195387-83-8 HCAPLUS

PAGE 1-A

PAGE 1-B

PAGE 2-A

AcNH

CN

RN 195387-85-0 HCAPLUS

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PAGE 1-C

PAGE 2-A

AcNH

RN 195387-87-2 HCAPLUS

1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[2-[[[5-[[[4-[[5-[[[5-[[[5-[[[2-[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

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PAGE 2-A

AcNH

195387-89-4 HCAPLUS

PAGE 1-B

$$\begin{array}{c|c} & & \text{Me} \\ \hline & & \text{CH}_2\text{-NH-C} \\ & & \text{O} \end{array}$$

PAGE 2-A

AcNH

RN 195387-91-8 HCAPLUS

PAGE 1-B

PAGE 1-C

PAGE 2-A

AcNH

RN 195387-92-9 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-[[4-[[4-[[4-[[4-([[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-N-[2-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-B

PAGE 2-A

AcNH

RN 195387-93-0 HCAPLUS

IH-Imidazole-2-carboxamide, 4-[[4-[[[4-[[[4-([[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-N-[2-[[[5-[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl-(9CI) (CA INDEX NAME)

PAGE 1-B

PAGE 2-A

AcNH

PAGE 1-B

PAGE 1-C

PAGE 2-A

AcNH

PAGE 1-B

$$- CH_2 - C - NH - CH_2 - C - NH$$

PAGE 1-C

- (CH₂)₃-NMe₂

RN 195387-96-3 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1Hpyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 195387-98-5 HCAPLUS

IH-Imidazole-2-carboxamide, N-[5-[[[5-[[[3-[[5-[[[5-[[[5-[[[5-[[[3-((dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-pyrro

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L42 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

1997:151532 HCAPLUS

126:157822

ED Entered STN: 08 Mar 1997

ΤI Synthesis of N-substituted oligomers as therapeutic agents

Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna

PA Chiron Corporation, USA

PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K038-02

34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 27

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CLASS
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 WO 9640202
                        C07B061/00L; C07K001/04C
                 ECLA
 US 5877278
                 ECLA
                        CO7B061/00L; CO7K001/04; CO7K001/04C; CO7K007/06A;
                        C07K007/08A; C07K014/00B; C08G069/10
    The title process comprises a solid-phase method for synthesis of
     N-substituted oligomers, e.g., poly(N-substituted glycines) having a wide
     variety of side-chain substituents, to obtain compds. of potential
     therapeutic interest. Each N-substituted glycine monomer is assembled
     from two sub-monomers directly on the solid support. Each cycle of
     monomer addition consists of two steps: (1) acylation of a support-bound
     amine with an acylating agent containing a group capable of nucleophilic
     displacement by -NH2, such as a haloacetic acid, and (2) introduction of
     the side-chain by nucleophilic displacement of the leaving group with a
     second submonomer such as a primary amine, alkoxyamine, semicarbazide,
     acyl hydrazide, carbazate or the like. Repetition of the two step cycle
     of acylation and displacement gives the desired oligomers. Combinatorial
     libraries are disclosed.
ST
     polyglycine N substituted prepn therapeutic agent
    Combinatorial library
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (synthesis of N-substituted oligomers as therapeutic agents)
ΙT
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       (synthesis of N-substituted oligomers as therapeutic agents)
IT
    51-45-6, Histamine, reactions 51-67-2, Tyramine 62-53-3, Aniline,
               64-04-0, Phenethylamine 78-81-9, Isobutylamine
    reactions
    4-Aminobiphenyl 98-16-8, 3-Aminobenzotrifluoride
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    Benzylamine, reactions 106-95-6, Allyl bromide, reactions
    2-Propen-1-amine 109-73-9, 1-Butanamine, reactions
                                                          109-85-3,
    2-Methoxyethylamine 110-89-4, Piperidine, reactions
                                                          111-26-2,
    Hexylamine 111-68-2, Heptylamine 121-05-1, N, N-
     (Diisopropyl) ethylenediamine 141-43-5, reactions
                                                        151-18-8,
    3-Aminopropionitrile 155-09-9, trans-2-Phenylcyclopropylamine
    495-69-2, Hippuric acid 525-03-1, 9-Aminofluorene 537-47-3, Phenyl
    semicarbazide 553-26-4, 4, 4'-Bipyridyl
                                               565-74-2, 2-Bromo-3-
    methylbutyric acid 578-54-1, 2-Ethylaniline
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    .alpha.-Bromovaleric acid 609-67-6, o-Iodobenzoyl chloride 613-94-5,
    Benzoylhydrazine 616-29-5, 1, 3-Diamino-2-propanol 617-89-0,
    Furfurylamine 622-33-3, O-Benzylhydroxylamine
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    2, 2, 2-Trifluoroethylamine 765-30-0, Cyclopropylamine 811-93-8,
    1, 2-Diamino-2-methylpropane 822-98-0, 2-Norbornylamine 870-46-2
    tert-Butyl carbazate 929-06-6, 2-(2-Aminoethoxy)ethanol 937-39-3,
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    Phenylacetic acid hydrazide
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    Aminoethyl)morpholine 2217-40-5, 1, 2, 3, 4-Tetrahydro-1-naphthylamine
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    Cyclopropaneethanamine 66384-48-3 67953-04-2
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    85068-29-7, 3,5-Bis(trifluoromethyl)benzylamine
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       (synthesis of N-substituted oligomers as therapeutic agents)
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    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
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(synthesis of N-substituted oligomers as therapeutic agents)

RN 145251-31-6 HCAPLUS

CN

Glycinamide, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butyl

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-C

L42 ANSWER 16_OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN 1995:346685 HCAPLUS DN 122:133845 ED Entered STN: 11 Feb 1995 ΤI Synthesis of N-substituted oligomers (polyglycines). Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen Brian Henry; Moos, Walter H.; Simon, Reyna J.; Goff, Dane A. PA Chiron Corp., USA S0 PCT Int. Appl., 71 pp. CODEN: PIXXD2 DT Patent LA English IC ICM A61K037-00 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 1, 63 FAN. CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE PΙ 19940331 19930924 <---WO 9406451 A1 WO 1993-US9117

W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,

Search done by Noble Jarrell

Audet 09/889178 Page 198

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                        PATENT FAMILY CLASSIFICATION CODES
 WO 9406451
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                        C08G069/10
 US 2002115612
                 ECLA
                        C07K001/04; C07K007/06A; C07K007/08A; C07K014/00B;
                        C08G069/10
OS
     MARPAT 122:133845
     (N-substituted polyamide) monomers were prepared by (1) acylating an amine
AB
     bound to a substrate with a sub-monomer acylating agent containing a leaving
     group to obtain a substrate-bound acylated amine having a leaving group,
     and (2) reaction of the latter with a second sub-monomer displacing agent
     containing an amino group to carry out nucleophilic displacement of the
     leaving group added during acylation. Repetition of the process affords
     e.g. oligomeric N-substituted glycines (NSGs) having significant biol.
     activity and proteolytic stability. Automated synthesis technol. makes
     the oligomers attractive for the generation and rapid screening of diverse
     peptidomimetic libraries. Thus, penta(N-phenylglycine)amide was prepared
     using an automated synthesizer in 83% yield using Rink amide polystyrene
     resin, PhNH2, and ICH2CO2H. Acylation reactions were carried out using
     diisopropylcarbodiimide in DMF; displacement reactions were carried out in
     Me2SO. Title compds. are claimed for use in diagnosis and therapy,
     specifically in antisense treatment.
ST
     polyglycine substituted automated prepn; peptide mimetic substituted
     polyglycine; glycine poly substituted automated prepn; haloacetate amine
     reaction solid phase; oligomer substituted solid phase prepn
IT
     Pharmaceuticals
        (antisense, substituted polyglycines, preparation of, by sub-monomer method)
IT
     Peptides, preparation
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (substituted polyglycines, preparation of, by sub-monomer method)
IT
     Polymers, preparation
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (oligomers, polyglycines and related compds., solid phase synthesis of,
```

by sub-monomer method)

IT 25718-94-9DP, Polyglycine, N-substituted 25734-27-4DP, Polyglycine, N-substituted 145251-23-6P 145251-24-7P 145251-25-8P 145251-26-9P 145251-27-0P 145251-28-1P 145251-29-2P 145251-31-6P 160832-95-1P 160832-96-2P 160832-97-3P 160832-98-4P 160832-99-5P 160833-01-2P 160833-02-3P 160833-03-4P 160833-00-1P 160833-04-5P 160833-05-6P 160833-06-7P 160833-07-8P 160833-08-9P 160833-09-0P 160833-10-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, by sub-monomer method)

51-67-2, 4-Hydroxyphenethylamine 64-04-0, Phenethylamine 64-69-7, Iodoacetic acid 67-62-9, Methoxyamine 74-89-5, Methylamine, reactions 79-08-3, Bromoacetic acid 79-11-8, Chloroacetic acid, reactions 92-67-1, 4-Aminobiphenyl 100-46-9, Benzylamine, reactions 109-73-9, Butylamine, reactions 109-85-3, 2-Methoxyethylamine 111-26-2, Hexylamine 537-47-3 613-94-5 622-33-3, Benzyloxyamine 765-30-0, Cyclopropylamine 870-46-2 937-39-3 1003-03-8, Cyclopentylamine 1068-57-1 2038-03-1, 4-(2-Aminoethyl)morpholine 3963-62-0 4801-27-8 5331-43-1 6294-89-9 18912-37-3 27532-96-3, Glycine tert-butyl ester hydrochloride 65915-94-8 75178-96-0 77128-70-2, FMOC-Sar-OH RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of peptoids by sub-monomer method)

T 145251-31-6P 160832-96-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, by sub-monomer method)

RN 145251-31-6 HCAPLUS

IT

CN Glycinamide, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-bu

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-C

---NH₂

RN 160832-96-2 HCAPLUS

CN Glycine, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-

PAGE 1-A

PAGE 1-B

L42 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:587848 HCAPLUS

DN 117:187848

ED Entered STN: 15 Nov 1992

- TI Propenamide derivatives, polymers, copolymers, and use thereof in inhibiting adhesion of and culturing animal cells
- IN Komazawa, Hiroyuki; Kojima, Masayoshi; Orikasa, Atsushi
- PA Fuji Photo Film Co., Ltd., Japan
- SO Eur. Pat. Appl., 75 pp.

CODEN: EPXXDW

DT Patent

LA English

IC ICM C07K005-08

ICS C12N005-00; A61K037-02; A61K047-48; A61L027-00; C08F289-00; C07K017-06

CC 9-11 (Biochemical Methods)

Section cross-reference(s): 1, 34, 35, 38

FAN. CNT 1

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                        C08F289-00; C07K017-06
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                        C12N005/00S
                 ECLA
                        A61K047/48R; C07K005/08B1; C07K014/75; C07K014/78;
US 6046289
                        C12N005/00S
    Propenamide derivs. R1R2C:CR3CO[NH]Q [Q = R4COX-Arg-Gly-Asp-YnZR5; R1, R2
    = H, CO2H; R3 = H, halo, Me, Et, CH2CO2H; X, Y = amino acid, peptide; Z =
    O, NH; 1 of R4, R5 = H, and the other = (substituted) alkylene or arylene;
    n = 1-5; brackets indicate group may be present or absent], their
     (crosslinked) polymers, and their copolymers with H2C:CR6[C0][W]R7 [R6 =
    H, C1-3 (substituted) alkyl; W = 0, NH; R7 = (substituted) alkyl or aryl],
    where the peptide portion of Q is an adhesive peptide, are useful for
    inhibiting adhesion of animal cells, for inhibiting coagulation and/or
    adhesion of blood platelets, and as a substrate (e.g. a hydrogel) for
    cultivating animal cells. They may be used in modulating immune function,
    wound healing, and intravascular platelet coagulation and in healing
    nervous disorders. Examples of preparation of monomers, polymers, and
    copolymers are presented. Thus, adhesion of blood vessel endothelium
    cells to fibronectin-coated wells in plastic plates was strongly inhibited
    by radical-polymerized H2C:CMeC(0)NHC2H4(CO)-Arg-Gly-Asp-Ser at 0.5 mg/mL.
    cell adhesion propenamide deriv polymer; polypropenamide blood platelet
    adhesion; culture cell propenamide peptide deriv
ΙT
    Animal cell
    Blood platelet
        (adhesion of, peptide-containing polymers inhibition of)
    Fibronectins
    RL: BIOL (Biological study)
        (blood vessel endothelium cell adhesion to, peptide-containing polymers
        inhibition of)
ΙT
    Blood platelet aggregation inhibitors
        (peptide-containing polymers)
ΙT
    Animal tissue culture
        (peptide-containing polymers in media for)
    Adhesion
        (bio-, of animal cells and blood platelets, peptide-containing polymers
        inhibition of)
ΙT
    Blood vessel
        (endothelium, adhesion of cells of, peptide-containing polymers inhibition
       of)
IT
    Gels
        (hydro-, of peptide-containing polymers, in animal cell culture media)
    Animal growth regulators
    RL: BIOL (Biological study)
        (vitronectins, blood vessel endothelium cell adhesion to,
       peptide-containing polymers inhibition of)
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    56-12-2, 4-Aminobutyric acid, reactions 56-85-9, Glutamine, reactions
    60-32-2, 6-Aminocaproic acid 61-90-5, Leucine, reactions 150-13-0,
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12-Aminolauric acid
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (Schotten-Baumann reaction of, with acrylic acid derivs.)
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     107-15-3, Ethylenediamine, reactions
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        (Schotten-Baumann reaction of, with methacrylic chloride)
     79-10-7, 2-Propenoic acid, reactions 920-46-7, Methacrylic chloride
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (Schotten-Baumann reaction of, with .omega.-amino acids)
IT
     23680-31-1
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (benzylation of)
     1668-10-6
IT
                4530-20-5
                             7536-58-5
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (peptide coupling reaction of)
ΙT
     143783-30-6P
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     (Reactant or reagent)
        (preparation and peptide coupling reaction of)
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     (Reactant or reagent)
        (preparation and polymerization of, in cell adhesion inhibitor preparation)
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        (preparation of, as cell adhesion inhibitor)
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     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, in cell adhesion inhibitor preparation)
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with aminoethyl methacrylamide)
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     100-11-8, p-Nitrobenzyl bromide
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with glycine derivative)
IT
     108-00-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
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(reaction of, with methacryloyl chloride)

IT 100-39-0, Benzyl bromide

RL: BIOL (Biological study)

(serine derivative benzylation with)

IT 143821-04-9P 143821-05-0P 143865-69-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as cell adhesion inhibitor)

143821-04-9 HCAPLUS RN

L-Proline, 1-[N-[N-[N-[N-(2-methyl-1-oxo-2-propenyl)glycyl]-L $arginyl] \verb|glycyl]-L-. alpha. -aspartyl]-L-seryl]-, polymer with$ N, N, N-trimethyl-3-[(2-methyl-1-oxo-2-propenyl)amino]-1-propanaminium

chloride (9CI) (CA INDEX NAME)

CM 1

CRN 143795-48-6 CMF C26 H41 N9 O11

2 CM

CRN 51410-72-1 CMF C10 H21 N2 O . C1

● C1-

RN 143821-05-0 HCAPLUS

L-Serine, N-[N-[N-[N-[N-[N-[N-(1-oxo-2-propenyl)glycyl]glycyl]]-Larginyl]glycyl]-L-. alpha. -aspartyl]-, polymer with N, N, N-trimethyl-3-[(2methyl-1-oxo-2-propenyl)amino]-1-propanaminium chloride (9CI) (CA INDEX NAME)

CM 1

CRN 143783-27-1 CMF C24 H38 N10 O12

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CM 2

CRN 51410-72-1 CMF C10 H21 N2 O . C1

● C1-

RN 143865-69-4 HCAPLUS

CN L-Aspartic acid, N-[N-[N2-[N-[N-[N2-[N-[N-[N2-[N-(2-methyl-1-oxo-2-propenyl)-.beta.-alanyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-L-arginyl]glycyl]-, polymer with N, N, N-trimethyl-3-[(2-methyl-1-oxo-2-propenyl)amino]-1-propanaminium chloride (9CI) (CA INDEX NAME)

CM 1

CRN 143795-46-4 CMF C43 H71 N19 O18

$$\begin{array}{c} \text{CO}_2\text{H} & \text{O} & \text{O} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{CH}-\text{NH}-\text{C}-\text{CH}_2-\text{NH}-\text{C}} & \text{NH} \\ \text{.} & \text{O} = \text{C}-\text{NH}-\text{CH}-\text{(CH}_2)_3-\text{NH}-\text{C}-\text{NH}_2} & \text{NH} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{CH}-\text{NH}-\text{C}-\text{CH}_2-\text{NH}-\text{C}-\text{CH}-\text{(CH}_2)_3-\text{NH}-\text{C}-\text{NH}_2} \\ \text{O} & \text{NH}-\text{C}-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{NH}-\text{C}-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{CH}_2-\text{NH}_2-\text{C}-\text{CH}_2-\text{C$$

PAGE 1-B

$$\begin{array}{c} \text{NH} \\ -\text{C-CH-} \text{ (CH}_2\text{) }_3 - \text{NH-C-NH}_2 \\ \parallel \\ \text{O} \\ \text{NH-C-CH}_2 - \text{CH}_2 - \text{NH-C-C-Me} \\ \parallel \\ \text{O} \\ \text{O} \\ \text{CH}_2 \end{array}$$

CM 2

CRN 51410-72-1 CMF C10 H21 N2 0 . C1

● C1-

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